10/677,729 Page 1

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(FILE 'HOME' ENTERED AT 15:31:23 ON 07 JUN 2005)

FILE 'REGISTRY' ENTERED AT 15:31:32 ON 07 JUN 2005

L1 STRUCTURE UPLOADED

L2 6 S L1

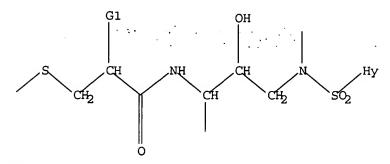
L3 136 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:32:04 ON 07 JUN 2005

L4 19 S L3

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L1 STR



Best Available Copy

G1 C,H

Structure attributes must be viewed using STN Express query preparation.

L3 136 SEA FILE=REGISTRY SSS FUL L1

L4 19 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=> d 1-19 bib abs hitstr

- ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN 2003:788593 CAPLUS 140:156713
- DN TI
- 140:156713
 Discovery of novel benzothiazolesulfonamides as potent inhibitors of HIV-1
 protease
 Nagarajan, Srinivasan R., De Crescenzo, Gary A., Getman, Daniel P., Lu,
 Rvang-Pun, Sikorski, James A., Walker, Jeffrey L., McDonald, Joseph J.,
 Houseman, Kathryn A., Kocan, Geralyn P., Kishore, Nandini, Mehta, Pramod
 P., Punkes-Shippy, Christie L., Blystone, Lisa
 Pfizer Global Research and Development, Pfizer Inc., Chesterfield, MO,
 63017, USA
 Biographic & Medicinal Chemistry (2003), 11(22), 4769-4777 λU
- CS
- 63017, USA Bioorganic & Medicinal Chemistry (2003), 11(22), 4769-4777 CODEN: EMECEP, ISSN: 0968-0896 50
- Elsevier Ltd.
- Elsevier Ltd.
 Journal
 English
 The human immunodeficiency virus (HIV) has been shown to be the causative
 agent for AIDS. The HIV virus encodes for a unique aspartyl protease that
 is essential for the production of enzymes and proteins in the final stages of
 - maturation. Protease inhibitors have been useful in combating the disease. The inhibitors incorporate a variety of isosteres including the hydroxyethylures at the protesse cleavage site. We have shown that the replacement of t-butylures moiety by benzothiazolesulfonamide provided inhibitors with improved potency and antiviral activities. Some of the compds have shown good oral bicavailability and half-life in rats. The synthesis of benzothiazole derivs. led us to explore other heterocycles. During the course of our studies, we also developed an efficient synthesis of benzothiazole-6-sulfonic acid via a two-step procedure starting from sulfanliamide. sulfanilamide. 656236-13-4P 656236-22-5P
- 636236-13-49 656236-22-5P
 RL: PAC (Pharmacological activity); PXT (Pharmacokinetics); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and antiviral structure-activity relationship novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease)
 656236-13-4 CAPLIS
- observed as the second second

- 656236-22-5 CAPLUS
 Propanamide, N-[(15,2R)-3-{(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-
- ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 2001:25780 CAPLUS 134:86548
- AN DN TI
- 134:86548
 Preparation of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
 Getman, Daniel P.; De Crescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Deyadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; HcDonald, Joseph J.
 G.D. Searle and Co., USA
 U.S., 85 pp., Cont.-in-part of U. S. Ser. No. 402,419, abandoned CODEN: USXXXM
 Patent

MARPAT 134:86548

- DT Patent LA English FAN.CNT 3

	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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		W:	AL,	AM,	AT,	AU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LS,	LT.
			LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO.	RU,	SD,	SE,
			SG,	SI														
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CH.	GA		
PRAI	US	1995	-402	419		B2		1995	0310									
	WO	1996	-US2	683		¥		1996	0307									
	us	1995	-474	117		12		1995	0607									

Heterocyclylcarbonyl amino acids, such as I [R1 = alkyl, alkenyl, alkynyl, etc.; R2 = alkyl, arylalkyl, elkylthicalkyl, arylthicalkyl, etc.; R3 = alkyl, arylalkyl, etc.; R3 = alkyl, cycloalkyl; R4 = aryl, heterocryl; R10 = H, alkyl, nitrogen protecting group, etc.; X = CH2, bondl, were prepared for pharmaceutical use as HIV protease inhibitors for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. Thus, II was prepd. by a multistep synthetic sequence starting from N-protected-L-phenylalanine, -L-isoleucine, -L-proline, isobutylamine, and 1,3-benzodioxole. The prepd. heterocyclylcarbonyl amino acids were tested via an HIV inhibition assay. 183533-92-69

18353-92-6p
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclylcarbomyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors) 18353-92-6 CAPLUS Propanamide, 2-amino-N-[(15.2R)-3-[(1,3-benzodicxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
183553-91-5 CAPLUS
Carbanic acid, {(1R)-2-{((1S,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl)aminoj-1[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 63

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study, unclassified), SFN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses) (prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonemide retroviral protease inhibitors)
174303-66-3 CAPLUS
Propanamide, N-[(1s, 2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxyl-[phenylmethyl]propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-72-0 CAPLUS
Propanamide, N-[{15,2R}-3-[{(2,3-dihydro-1,4-benzodioxin-6-yl}sulfonyl}{2-methylpropyl}amino]-2-bydroxy-1-{phenylmethyl}propyl]-2-methyl-3-{methylsulfonyl}-, (2S)- {9Cl} (CA INDEX NAME)

Absolute stereochemistry.

183004-73-1 CAPLUS
Propanamide, N=[(15,2R)-3-[(6-benzothiszolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-74-2 CAPLUS Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
2001:10084 CAPLUS
134:71903
Preparation of sulfonylalkancylamino hydroxyethylamino sulfonamide
retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A.; Freskos, John N.; Vazquez,
Michael L.; Sikorski, James A.; Devades, Balekudru; Nagarajan, Srinivasan;
McDonald, Joseph J.
G.D. Searle and Co., USA
U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
COUEN: USXXXAM
Patent IN

DT LA

	CNT 4 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6169085	B1	20010102	US 1999-411374	19991004
	US 6380188	B1	20020430	US 2000-672449	20000929
	US 2003191166	A1	20031009	US 2002-82123	20020226
	US 6667307	B2	20031223		
	US 2004147758	A1	20040729	US 2003-677729	20031003
PRAI	US 1995-401838	B2	19950310		
	WO 1996-US2682	A1	19960307		
	US 1997-913069	A1	19971219		
	US 1999-411374	A1	19991004		
	US 2000-672449	A1	20000929		
	US 2002-82123	A1	20020226		
os	MARPAT 134:71903				
GI					

Sulfonylalkancylamino hydroxysthylamino sulfonamide compds.

RSS(0) t(CR2) nCHRICONNCHR2CH(OH)CHZNR3SOZR4 (R1 = H, alkyl, alkenyl,
alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CHZCONHZ, CHZCONHZ,
CHZSOZHIZ, CHZSOHe, CHZSOHe, CHZSOHe; R2 = alkyl, aralkyl, alkylthioalkyl,
arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylakyli, skylthioalkyl,
arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylakyli,
arylt = 0-2) were prepared as retroviral protesse inhibitors. Thus,
N-[ZR-hydroxy-3-{(2-methylropyl){(1,3-bencioixol-5-yl)sulfonyl)anino}-15(phenylmethyl)propyl]-25-methyl-3-{methylsulfonyl)propanamide was prepared
and assayed for HIV protease inhibitory activity (ICSO = 2 nh; ECSO = 20
nh). The corresponding methylsulfinyl derivative I (claimed compound)
ed

cd ICSO values 2 and 7 nM and ECSO values 52 and 80 nM for the two isomers. 174303-66-3P 183004-72-0P 183004-73-1P 183004-74-2P 183004-75-3P 183004-76-6P 183004-75-5P 183004-76-6P 183004-775-5P 183004-76-6P 183182-29-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-75-3 CAPLUS
Propanamide, N-[(15,2R)-3-[{(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-76-4 CAPLUS
Carbanic acid, [5-[[(2R,35)-2-hydroxy-3-[{(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylsuppyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N=[(15,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-(methylsulfonyl)-, (23)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

183004-78-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

183182-29-8 CAPLUS
Propanamide, N-{ [15,2R}-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino|-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

163004-99-1P 183005-01-8P

183004-99-19 183005-01-89 REVENTED THE RESEARCH PROPERTY OF THE RESEARC

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ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 2000:821607 CAPLUS 133:350519 Synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors Getman, Daniel P., Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan Raj; Brown, David L.; NcDonald, Joseph J. G.D. Searle and Co., USA U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned. CODEN: USXXXAM

Patent

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115	6316	106			Ŷ.		2001	1113		110	200	0-4	1063	24		2	2210	201
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115	6683	210	•		B2		2003	0127		03	200	L	,,,,,,,	•		-	3020	313
US	6861	539			RI		2005	0301		116	200	3-6	384	79		21	1030	812
us	1995	-402	450		B2		1995	0310		•••	200	•	,,,,,,			-		
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US	1998	-913	096		Ā1		1998	0121										
US	2000	495	334		A1		2000	0201										
US	2000	-694	783		Al		2000	1024										
US	2002	-976	12		A1		2002	0315										
MAE	PAT	1331	3505	19														
	US CA WO AU BR EP EP	CNT 3 PATENT US 6150 CA 2215 WO 9628 W: RW: AU 9653 AU 7043 BR 9607 EP 8135 R: CN 1196 JP 1150 EP 1076 R: EP 1188	US 6150556 CA 2215025 WO 9628464 W: AL, ES, SG, RW: KK, AU 9653561 AU 704360 BR 9607543 EP 813543 EP 813543 LP 8150192 EP 1076062 R: AT, EF 1188766	CNT 3 PATENT NO. US 6150556 CA 2215025 WO 9628464 W: AL, AM, ES, FI, LU, LV, SG, SI RW: KE, LS, AU 704360 BR 9607543 EP 813543 R: AT, BE, SI, LT, CN 1196732 JP 11501921 EP 1076062 R: AT, BE, EP 1188766	CNT 3 PATENT NO.	CNT 3 PATESTI NO. US 6150556 A CA 2215025 AA W9 9628464 W: AL, AM, AT, AU, ES, FI, GB, GE, LU, LY, MD, MG, SG, SI RW: KE, LS, MW, SD, IE, IT, LU, MC, AU 9653561 AU 704360 B2 B87 9607543 A: AT, BE, CH, DE, SI, LT, LV, FI CN 1196732 T2 EP 1135032 T2 EP 1076062 R: AT, BE, CH, DE, EP 1188766 AI EP	CNT 3 PATENT NO.	CNT 3 PATENT NO. US 6150556 A 2000 CA 2215025 AA 1996 WO 9628464 W: AL, AM, AT, AU, AZ, BB, LU, LW, HD, MG, HK, MM, SG, SI RW: RK, LS, MW, SD, SZ, UG, 1E, IT, LU, MC, NL, PT, AU 9653561 AU 704360 BY 9607543 R: AT, BB, CH, DB, DK, ES, SI, LT, LV, FI CN 1196732 PI 11501921 R: AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CN 11967366 R: AT, BE, CH, DE, DK, ES, SI, LT, LV, FI EP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 11867666 RI AT, BE, CH, DE, DK, ES, SI, LT, LV, FI CP 1186766	CNT 3 PATENT NO. US 6150556 A 20001121 CA 2215025 AA 19960919 WO 962464 AI 19960919 WI AL, AM, AT, AU, AZ, BB, BG, ES, FI, GB, GE, HU, IS, JP, LU, LY, MB, HG, HK, MM, AT, BB, CS, S1 RW: RK, LS, HW, SD, S2, UG, AT, 1E, IT, LU, MC, NL, PT, SB, CA, DS, S5 AU 9653561 AU 704360 BZ 19990422 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI CN 1196732 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI CN 11967062 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766 RI AT, BE, CH, DE, DK, ES, FR, SI, LT, LV, FI EP 1198766	CNT 3 PATEST NO. SIND DATE 1. S 6150556 A 20001121 CA 2215025 AA 19960919 WO 9628464 AI 19960919 W: AL, AM, AT, AU, AZ, BB, BG, BR, ES, FI, GB, GE, HU, IS, JP, KE, LU, LY, MD, MG, MK, MM, MM, MX, SG, SI RW: RK, LS, MW, SD, SZ, UG, AT, BE, IE, IT, LU, MC, NL, PT, SE, BF, AU 9653561 AU 9653561 AU 9653561 AU 9653561 AI 199602 AU 704360 BZ 19990422 BP 9607543 AI 19971223 EP 913543 AI 19971223 R: AT, BE, CH, DE, DK, ES, FR, GB, SI, LT, LV, FI CN 1196732 PI 1501921 TZ 19990216 R: AT, BE, CH, DE, DK, ES, FR, GB, SI, LT, LV, FI EP 11867662 AI 20010214 R: AT, BE, CH, DE, DK, ES, FR, GB, SI, LT, LV, FI EP 11867664 AI 20020320	CNT 3 PATEST NO. 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DATE US 6150556 A 20001121 US 1995-479071 19950 CA 2215025 AA 19960919 CA 1996-2215025 19960 9 9622464 A1 19960919 VO 1996-U32685 19960 U: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, IS, JP, KE, KG, KY, CH, CN, CZ, DE, DK, LU, LV, MD, MG, MK, MM, MM, MX, NO, MZ, FL, PT, RO, RU, SD, SG, SI RV: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, LE, IT, LU, MC, NL, PT, SE, BF, BJ, CT, CG, CI, CM, GA, GN AU 9653561 Al 19961002 AU 1996-53561 19960 AU 704360 B2 19990422 BP 9630753 A 19971223 BR 1996-7543 19960 AU 704360 B2 19990422 BP 1076007 A 1996-193618 19960 BF 1076007 A 19961007 A 1996-193618 19960 BF 1076007 A 1 200101214 BP 2000-114911 19960 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, SI, LT, LV, FI EP 1186766 A1 20020120 EP 2001-129219 19960 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, SI, LT, LV, FI EP 1186766 A1 2002020 EP 2001-129219 19960 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, SI, LT, LV, FI EP 1186766 A1 2002030 EP 2001-129219 19960

MARFAT 133:350519
Peptides R13NHCH2CONHCHRICONHCH(CH2Ph) CH(OH) CH2N (Bu-i) SO2R4 (R1 = C1-5alkyl, C2-5alkynyl, R4 = aryl, R13 = aralkyl, cycloalkyl, alkoxyalkyl), including stereoisomers, pharmaceutically acceptable salts,

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry

183005-01-8 CAPLUS
Propananide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (25) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) and prodrugs, were prepd. as retroviral protease inhibitors. Thus, compd. 25-[[(aethylanian) acetyl] and no] -N-[ZR-hydroxy-3-[[(1,3-benzodioxol-5-yl) sulfonyl] [Z-methylpropyl] annio] -IS-(phenylmethyl) propyl]-3,3-dimethylbutanamide was prepd. and shown to be an effective HIV protease inhibitor (ICSO - 2 nm, ECSO - 18 nM).
183533-90-49 183533-91-59 183553-92-69
183553-93-78
RLI RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(synthesis of bis-maino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

(synthesis of bis-amino acid hydroxyethylamino sulfonamide retrovi: protease inhibitors)

18353-90-4 CAPLUS

Carbamic acid, [(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1[(methylthio)methyl]-2-oxoethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-91-5 CAPLUS Carbanic acid, [(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[{15,2R}-3-{(1,3-benzodioxol-5-ylsulfonyl)}{2-methylpropyl}amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

183553-93-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-2[(chloroscetyl)amino]-3-(methylsulfonyl)-, (2R)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Peptides I [R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH2CONH2, CH2CONH2, CH2SO2NH2, CH2SNe, CH2S(O)He, CH2SO2NH2, CH2SO2NH2, CH2SNe, CH2S(O)He, CH2SO2NH2, CH2SNe, CH2SNe, CH2S(O)He, CH2SO2NH2, CH2SNe, CH2SNe, CH2Nell, aralkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl, R3 = alkyl, cycloalkylmethyl, R4 = aryl or benzo-fused 5-6 membered heteroaryl or heterocyclyl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = any group given for R10 or benzyl, imidazolylmethyl, CH2CHCONH2, CH2CONH2, CH2CONH2, CH2CHSNE, CH2SMe or sulfone or sulfoxide derivs., R12, R13 = H, alkyl, aralkyl, heteroaralkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, aryl or heteroaryl, where cycloalkyl or heteroaryl may be benzo fused (with provisos)] were prepared as retroviral protease inhibitors. Thus, compound II was prepared and shown to be an effective HIV protease inhibitor (IC50 = 2 nM, EC50 = 18 nM).
183553-93-7P
RL: RCT (Reactant), SFN (Synthetic preparation), PREP (Preparation), RACT

183553-93-79
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of bis-maino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
183553-90-4 (CAPLUS)
Carbamic acid, [(IR)-2-[{(IS,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) maino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

33:335463

Synthesis of bis-amino acid hydroxysthylamino sulfonamide retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A., Freskos, John N., Vazquez,
Michael L., Sikorski, James A., Devades, Belekudru, Nagarajan, Srinivasan
Raj, Brown, David L., McDonald, Joseph J.
G.D. Searle and Co., USA
U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned.
CODEN: USXXXAM
Patent Patent English CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE

ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 2000:784383 CAPLUS 133:335463

IN

ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Carbamic soid, [(1R)-2-[((18,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)lpropyl)laminol-1[(aethylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

Propananide, 2-mmino-N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) {2-msthylpropyl} amino]-2-hydroxy-1-(phenylmsthyl)propyl]-3-(msthylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

183553-93-7 CAPLUS
Propanamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmathyl)propyl]-2-[(chloroscetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of benzo-fused heterocyclic sulfonyl chlorides for prepn. of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)
183812-50-2 CAPLUS
1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-mathylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-89-19 183553-90-4P 183553-91-5P 183553-92-6P 183553-93-7P

18353-92-67 18353-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of benzo-fused heterocyclic sulfonyl chlorides for preparation of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidinescetamide, N-{(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCI

183553-90-4 CAPLUS Carbamic acid, [(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
2000:769109 CAPLUS
133:322130
Synthesis of benzo-fused heterocyclic sulfonyl chlorides for preparation
of anino acid hydroxyethylamine sulfonamide retroviral protease inhibitors
Runda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A.
G.D. Searle and Co., USA
U.S., 95 pp., Cont.-in-part of U.S. 5,756,533.
CODEN: USXXXAM
Patent L4 AN DN TI

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	US	2002	1113	68	A1		2002	0815		US 2	001-	8364	43 '		2	0010	418
	US	6458	785		B2		2002	1001									
	US	2002 6458 2003	2164	35	A1		2003	1120		US 2	002-	2005	89		2	0020	723
	US	6730	669		B2		2004	0504									
	US	2004	2600	95	A1		2004	1223		US 2	004~	7601	25		21	0040	120
PRAI	US	1995	-402	287	B2		1995	0310									
	US	1995	-474	052	A2		1995	0607									
	US	1995 1996	-391	873	B2		1995	0222									
	EP	1996	-907	135	A3		1996										
	US	1998	-809	28	A1		1998	0519									
	WO	1999	-US7	047	w		1999	0518									
		1999					1999	1201									
	US	2001	-836	443	A1		2001	0418									
	US	2002	-200	589	A1		2002	0723									

US 2002-200589 Al 20020723

CASREACT 133:322130, MARPAT 133:322130

Benzo-fused heterocyclic sulfonyl halides for the preparation of amino acid hydroxysthylamine sulfonanide retroviral protease inhibitors were obtained by a process comprising reacting a benzo-fused heterocyclic compound with an SO3 complex in the presence of a water immiscible, non-reactive solvent at 0-75°, cooling, if necessary, to a temperature of from about -25° to about 65° and then adding oxalyl halide. Thus, N-[2R-hydroxy-3-[[(1,3-benzodioxol-5-yl)sulfonyl)(2-methylpropyl)amino]-1S-[phenylmethyl]propyl]-25-[(pyrrolidin-1-yl)acetylamino]-3,3-dimethylbutanamide was prepared and shown to be an effective HIV protease inhibitor (IC50 - 3 nH, EC50 - 7 nM).

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methylpropyl) aminoj -2-hydroxy-1-(phenylmethyl)propyl] aminoj -1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-91-5 CAPLUS
Carbamic acid, [(1R)-2-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-1[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[{1s,2R}-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

183553-93-7 CAPLUS
Propanamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfomyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2[(chloroscetyl)amino]-3-(methylsulfomyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) fused heterocyclo sulfonyl halide intermediate, comprising reacting a benzo fused heterocyclic compd. With a -503- complex in the presence of a solvent and then adding oxalyl halide. Thus, amino acid I (R1 -CHMERt, R2 = Bm, R3 = CHZCHMe3, R4 = Ph, R5-E9 = H, n = 1) was prepd. and tested as HIV protease inhibitor (IC50 = 4 mH).

183553-89-19
RIL BAC [Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzo fused heterocyclo sulfonyl halide intermediates for the aration

aration
of maino acids as HIV protease inhibitors)
183553-89-1 CAPIUS
1-Pyrrolidineacetamide, N-{(1R)-2-[{(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-{phenylmethyl)propyl]amino]-1-{(nethylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA
INDEX NAME)

Absolute stereochemistry,

● HC1

IT

183553-90-4P 183553-91-5P 183553-92-6P
183553-93-7P 183812-50-2P
RL: RCT (Reactant): SPN (Synthetic preparation); FREP (Preparation); RACT (Reactant or reagent)
(beanco fused heterocyclo sulfonyl helide intermediates for the

Absolute stereochemistry.

ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1999:753229 CAPLUS 132:6692 benzo fused heterocyclo sulfonyl halide intermediates for the preparation of amino acids as HIV protease inhibitors Kunda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A. G.D. Searle and Co., USA PCT Int. Appl., 221 pp. CODEN: PIXXD2 Patent PA SO DT Patent
LA English
FAN.CNT 5
PATENT NO.

PATENT NO. KIND DATE APPLICATION NO. DATE

W0 9959989 A1 19991125 W0 1999-U57047 19995181
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, CH, GM, HR, HU, ID, II, IS, JP, KEE, KG, KY, KY, LC, LK, LR, LS, LT, LU, LY, HD, MG, MK, MN, MY, KX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZV, AM, AZ, BY, KG, KZ, HD, RU, TJ, TM
RY, GH, GM, KE, LS, MV, SD, SL, SZ, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, HC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG
US 6140505 A 20001031 US 1998-80928 19980519
AU 9938604 A1 19991206 AU 1999-38604 19990518
US 2002111368 A1 20020815 US 2001-836443 20010418
US 6458785 B2 20021001 A A1 A1 B2 A1 B2 A2 W US 6458785 PRAI US 1998-80928 US 1995-402287 US 1995-474052 WO 1999-US7047 US 1999-451920 19980519 19950310 19950607 MARPAT 132:6692

Sulfonyl amino acids I (Rl = alkyl, elkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CHZCONHZ, CHZCHZCONHZ, CHZSNE, ChZSNE, ChZSONE, CHZSOZHE, CYCloalkylalkyl, R4 = substituted heterocycle, R5 = H, alkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl, alkoxyalkyl, alkylamide, sulfone, alkylthioalkyl, R7-R9 = H, substituted heterocryl, benzol were prepared as HIV protease inhibitors. Process for preparing a

ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183553-91-5 CAPLUS Carbanic acid, [(1R)-2-[((1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl) amino]-1- ((methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\label{lem:condition} \begin{tabular}{lll} 183553-92-6 & CAPLUS & Propanamide, & 2-maino-N-[\{1S,2R\}-3-\{\{1,3-benzodioxol-5-ylsulfonyl\}\} (2-methylpropyl) amino|-2-hydroxy-1-(phenylmethyl) propyl]-3-(methylsulfonyl)-, monohydrochloride, & (2R)- & (9CI) & (CA INDEX NAME) \end{tabular}$

Absolute stereochemistry.

● HC1

183553-93-7 CAPLUS
Propenamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-

ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) [(chloroacetyl)emino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183812-50-2 CAPLUS

1-Pyrrolidi neacetamide, N-[(1R)-2-[[(1S,2R)-3-[(1,3-benzodi oxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 4

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylpropyl)((1,3-benzodioxol-5-yl)sulfonyl]amino]-1S(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide (1) was
prepd. and assayed for HLV protease inhibitory activity (IC50 - 2 nM; EC50
- 20 nM).
174303-66-3P 183004-72-0P 183004-73-1P
183004-74-2P 183004-78-6P 183102-29-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); HLU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)
174303-66-3 CAPLUS
Propanamide, N-[(1S,ZR)-3-(1,3-benzodioxol-5-ylsulfonyl) (2methylpropyl)smino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3(methylsulfonyl)-, (2S)- (SCI) (CA INDEX NAME)

Absolute stereochemistry

183004-72-0 CAPLUS
Propanamide, N-{ [15,2R}-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl] (2-methylpropyl) amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- [9CI] (CA INDEX NAME)

Absolute sterenchemistry.

183004-73-1 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl) (2-methylpropyl) amino] -2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 0 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN 1999:733035 CAPLUS 131:337352

131:337352
Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A., Freskos, John N., Vazquez,
Hichael L., Sikorski, James A., Devadas, Balekudru, Nagarajan, Srinivasan, IN

McDonald, Joseph J.

G.D. Searle and Co., USA
U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
CODEN: USXXXM

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ΡI	US	5985	5870			Α		1999	1116		US 1	997-	9130	69		1	9971	219
	¥0	9628	418			A1		1996	0919		WO 1	996-	US 2 6	82		1	9960:	307
		¥:	λL,	AM,	ΑT,	AU,	AZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	E
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LS,	LT
			LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT.	RO,	RU,	SD,	SE
			-															

LU, LV, MD, MG, MK, MN, MG, MX, NO, WZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IS, IT, LU, MC, NIL, PT, SE, BF, BJ, CF, CG, CI, CH, GA US 6380188 B1 20020430 US 2000-672449 20000929 US 2003191166 A1 20031009 US 2002-82123 20020226 US 6667307 B2 20031009 US 2002-82123 20020226 US 6667307 B2 20031023 US 2003-677729 20031003 US 1995-401838 B2 19950310 US 1995-401838 B2 19950310 US 1995-401838 B2 19950307 US 1995-418025 A2 19950607 US 1997-913069 A1 19971219 US 1997-913069 A1 19971219 US 2002-82123 A1 20002026 AARRPAT 131:337352

Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds. R55(0) t(CH2)nCHRICONNCHR2CH(GH)(CH2NRS502R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2COCH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, CH2SOZNH2, Cycloalkylalkyl, R3 = alkyl, cycloalkyl, cycloalkylankyl, R3 = alkyl, cycloalkyl, cycloalkylankyl, R4 = benzo-fused heterozyl or heterocyclyl, n, t = 0-2) were prepared as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(2-

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183004-74-2 CAPLUS
Propanamide, N={ [15,2R}-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) aminol -2-hydroxy-1-(phenylmethyl) propyl}-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-78-6 CAPLUS
Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl) {2-methylpropyl}amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183182-29-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183004-99-19 183003-01-89
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protesse inhibitors)
183004-99-1 CAPLUS
Ethanethioic acid, S-[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxyl-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

183005-01-8 CAPLUS
Propanamide, N=[(1s, 2R)-3-[(1, 3-benzodioxol-5-yisulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-75-3P 183004-76-4P 183004-77-5P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued) RE.CHT 62 HIERR ARE 62 CITED REPRENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
retroviral protease inhibitors)
RN 183004-75-3 CAPLUS
Propanantde, N-[(15,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2methylspropyl)anino]-2-bydrosy-1-(phenylmethyl)propyl]-2-methyl-3(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-76-4 CAPLUS
Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[{(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylsycopyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester [9CI)(CA INDEX NAME)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N-[(15,2R)-3-[((2-amino-6-benzothiazolyl)sulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1999:671016 CAPLUS 131:286828

131:28628
Preparation of amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A.; Freskos, John N.; Vazquez,
Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan
R.; Brown, David L.; McDonald, Joseph J.
G.D. Searle and Co., USA
U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 402,287, abandoned.
CODEN. USXXAM
Parent IN

DT LA Patent English

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ΡI	US	5968	970			A		1999	1019		บร		8949	00		1		
	WO	9628	463			A1		1996	0919	,	WO .	1996-	U526	84		1	9960	307
		W:	ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG	, CA, , KP, , NZ,	KR,	KZ,	LK,	LR,	LS,	LT,
		RW:	SG,	SI								, NE,						
	-		IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ	, CF,	CG,	CI,	CH,	GA		
	E.P	1258																
		K:			LV,		DK,	ES,	rĸ,	GB,	GH,	, I T ,	LI,	LU,	NL,	SE,	PT,	IE,
	US	2002	1113	68		A1		2002	0815	- 1	US 3	2001-	8364	43		2	0010	418
	US	6458	785			B2		2002	1001									
PRAI		1995						1995	0310									
	WO	1996	-U52	684		V		1996	0307									
	US	1995	-474	052		A2		1995	0607									
	EP	1996	-907	135		A3		1996	0307									
	US	1999	-451	920		A3		1999	1201									
OS GI	MAI	RPAT	131:	2868	28													

$$\bigcap_{R_1 = 1}^{R_1 = 1} \bigcap_{R_1 = 1}^{R_1 = 1} \bigcap_{R_1 = 1}^{R_2 = 1$$

Amino acid hydroxyethylamino sulfonamide compds. I [X = CH2, CH2CH2: Rl = alkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, or cyanoalkyl, inidazolylmethyl, CH2COMH2, CH2CH2COMH2, CH2SO2MH2, CH2SH2, CH2S(0)He, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, CH2SO2MH2, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl: R3 = alkyl, cycloalkyl, cycloalkylalkyl: R3 = alkyl, cycloalkylalkyl: R3 + alkyl, hydroxy- or alkoxyalkyl; R11 = H, alkyl, hydroxy-alkyl, alkoxy-alkyl, inidazolylmethyl, CH2CH2COMH2, CH2CH2SMH2, CH2CMH2, CH2

- L4

ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
ylsulfonyl) amino]-15-(phenylmethyl)propyl]-35-methylpentanamide was prepd.
and showed IC50 = 2 nM for inhibition of HIV protease.
18353-89-19 183812-50-2P
RL: BAC (Biological activity or effector, except adverse) BSU (Biological
study, unclassified) SPN (Synthatic preparation) THU (Therapeutic use)
BIOL (Biological study) PREP (Preparation) USES (Uses)
(preparation of maino acid hydroxyethylamino sulfonamides as retroviral
protease inhibitors)
183533-89-1 CAPLUS
1-Pyrrolidineacetamide, N-{(IR)-2-[(IS,2R)-3-[(I,3-benzodioxol-5ylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]1-((methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

• HC1

183812-50-2 CAPLUS
1-Pyrrolidineacetamide, N-[(1R)-2-[[(1S,2R)-3-[[1,3-benzodioxol-5-yl]ulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

183553-90-4P 183553-91-5P 183553-92-6P
183553-93-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of amino acid hydroxyethylamino sulfonamides as retroviral

ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

183553-93-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phanylmethyl)propyl)-2[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 2

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
protease inhibitors)
RN 193553-90-6 CAPLUS
CN Carbanic acid, [(1R)-2-[((18,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylsethyl)propyl)anino]-1[(methylthio)methyl)-2-oxosthyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-91-5 CAPLUS
Carbamic acid, [(1R)-2-{[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylsulfonyl)methyl}-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-92-6 CAPLUS
Propanande, 2-amino-N-[{15,2R}-3-{(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)amino|-2-bydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1998:471469 CAPLUS 129:122867
129:122867
Reterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors Getman, Daniel P., DeCrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L., Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J. G.D. Searle and Co., USA U.S., 75 pp., Cont.-in-pert of U. S. Ser. No. 402,419, abandoned. COUEN: USXXAM IN

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English
CNT 3
PATENT NO. DT LA

KIND DATE APPLICATION NO. DATE ΡI

(Continued) ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

Heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide compds. I (X - bond or CH2; R1 - H, alkyl, alkenyl, alkynyl, imidazolylmethyl, CHZCONHZ, CHZSOZHHZ, etc.; R2 - alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl, cy

1e3553-90-46 1e3553-91-5P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT
(Reactant or reagent)
 (heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide
 retrowiral protease inhibitors)
1e3553-90-4 CAPLUS
Carbamic acid, [(1R)-2-[[(1s,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

183553-91-5 CAPLUS
Carbamic acid, [(1R)-2-{[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1998:392091 CAPLUS 129:41411

L4 AN DN TI Preparation of amino acid hydroxyethylamino sulfonamide retroviral

Preparation of amino acid nydroxyetnylamino sulfonamide retroviral protease inhibitors
Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Belekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
G.D. Searle and Co., USA
U.S., 93 pp., Cont.-in-part of U. S. Ser. No. 402,287, abandoned.
CODEN: USXXAM

MARPAT 129:41411

DT Patent LA English FAN.CNT 5 PATENT NO. APPLICATION NO. DATE

A 19980526 US 1995-474052 19950607

9628463 AA 19960919 CA 1996-2215061 19960307

V: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LB, LS, LT, LU, LV, MD, MG, MK, MN, MW, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RV: KE, LS, HW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CT, CG, CI, CM, GA
9650294 B2 1999052

103542 A1 19971229 EP 1996-907137

SI, LT, LV, FT
186499 B2 19991229 EP 1996-907137 US 5756533 CA 2215061 WO 9628463 AU 9650294 AU 705268 EP 813542 EP 813542 R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI
CN 1186499 A 19980701 CN 1996-193620 19960307
JP 2001513746 T2 20010904 JF 1996-527648 19960307 CN 1996-193620 JP 1996-527648 AT 1996-907135 EP 2002-11526 AT 226213 EP 125849 20021115 20021120 19960307 1258491 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI
PL 184748
B1 20021231
P1 913542
T 20030131
P1 1996-3077135
19960307 PL 1996-322784 PT 1996-907135 ES 1996-907135 EE 1997-201 NO 1997-4148 US 1998-33897 US 1998-80928 US 1999-451920 US 2001-836443 S1, LT,
PL 18478
PT 813542
ES 2187640
EE 4349
NO 9704148
US 5965601
US 610505
US 6310080
US 6458785
US 20022111368
US 6458785
US 2002216435
US 2002216435
US 1995-402287
US 1995-907135
VO 1996-US2684
US 1998-6928
US 1999-451920
US 2001-36443
US 2002-200836443
US 2002-2036443 20030131 20030616 20040816 19971027 19960307 19960307 19970909 A A B1 B2 A1 B2 A1 B2 A2 B2 A3 19970909 19980303 19980519 19991201 20010418 19991012 19991012 20001031 20011030 20020815 20021001 20031120 US 2002-200589 20020723 20040504 20041223 19950310 19950222 US PRAI US US 2004-760125 20040120 19950607 19960307 19960307 A1 A3 A1 A1 19980519

20020723

ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

IT

18353-92-6F
RL: SPN (Synthetic preparation), PREF (Preparation)
(heterocyclylcarbonyl maino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)
183553-92-6 CAPIUS
Propanamide, 2-amino-N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-[phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 58

ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\mathbb{R}^{8}$$

$$\mathbb{R}^{7}$$

$$\mathbb{N}^{R} = \mathbb{R}^{7}$$

$$\mathbb{N}^{R} \mathbb{R}^{5} \mathbb{R}^{6} \mathbb{C} \mathbb{N} \mathbb{H}^{2} \mathbb{C} \mathbb{H}^{2} \mathbb{C} \mathbb{H}^{2} \mathbb{C} \mathbb{H}^{2} \mathbb{C} \mathbb{H}^{2} \mathbb{H}^{3} \mathbb{S} \mathbb{O}_{2} \mathbb{R}^{4}$$

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183912-50-2 CAPLUS
1-Pyrrolidinescetamide, N-[(1R)-2-[((1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 183553-90-4P 183553-91-5P 183553-92-6P 183553-93-7P

RE: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of amino acid hydroxyethylamino sulfonamide retroviral

(preparation of acceptance inhibitors)

RN 183553-90-4 CAPLUS

CN Carbantc acid, [(1R)-2-[((1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) anino]-2-hydroxy-1-(phenylmethyl) propyl) anino]-1[(methylthio) methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

183553-91-5 CAPLUS
Carbamic acid, {(1R)-2-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropy)]amino]-2-hydroxy-1-(phenylmethyl)propy)]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CAINDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 54

ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[{15,2R}-3-[{1,3-benzodioxol-5-ylsulfonyl}}{2-methylpropyl}amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,monohydrochloride, (2R)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

● HC1

183553-93-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1998:324825 CAPLUS

129:16390

Preparation of substituted sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protesse inhibitors
Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J. G.D. Searle and Co., USA
U.S., 131 pp.
CODEN: USXXXM
Patent IN

DT Patent LA English FAN.CNT 1

DATE PATENT NO. KIND APPLICATION NO. DATE

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BIOL (Biological study), PREP (Preparation), USES (Uses)
(prepn. of sulfomylalkanoylamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)
191412-75-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2pyridinyl)ethyl)sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-76-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-[(1-oxido-1-pyrrolidinyl)ethyl]mino|-2-oxoethyl}sulfonyl]-, (25)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

191412-78-9 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodicxol-5-ylsulfonyl)(2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(2-(4-oxido-4-morpholinyl)ethyl)amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)ulfonyl]-, (25)- (25) (CA INDEX NAME)

Absolute stereochemistry.

191412-82-5 CAPLUS
Propanamide, N-[(15, 2R)-3-[(1,3-benzodioxol-5-ylsulfony1)(2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl)-3-[(2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]sulfonyl)-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-83-6 CAPLUS
Butanoic acid, 4-[([2S)-3-([(1S,2R)-3-[[1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-87-0 CAPLUS
Propanamide, 3-{(1H-benzimidazol-2-ylmethyl) sulfonyl}-N-{(1S,2R)-3-{(1,3-benzodixol-5-ylsulfonyl) (2-methyl)propyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191412-79-0 CAPLUS
Propanamide, N-{ (1s, 2R)-3-[(1, 3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-80-3 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(2-oxo-2-(1-placazinyl)ethyl]sulfonyl]-, monohydrochloride, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

191412-81-4 CAPLUS Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191412-88-1 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

191412-91-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylropyl)amino]-2-hydroxy-1-(phenylmethylr)propyl)-2-methyl-3-{2-pyridinylsulfonyl}-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Pitit-92-7 (Arus)
Propanamide, N-[115,2R]-3-[(1,3-benzodicxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)-3-[(3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS OR STN L4 (Continued)

191412-93-8 CAPLUS
D-Ribofuranoside, methyl 5-[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methyl)propyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-95-0 CAPLUS
Propanande, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) anino]-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl] sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191412-96-1 CAPLUS
Propanamide, N-([15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-y1) aulfony1](2-methylropy1) amlno]-2-hydroxy-1-(phenylmethy1)propy1]-2-methyl-3-[[2-oxo-2-(2-pyridiny1)ethy1)aulfony1]-, (2S)- (9CI) (CA INDEX NAME)

191412-97-2 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

 $\begin{array}{lll} 191413-02-2 & CAPLUS \\ Fropanamide, & Dr. & ([1S,2R]-3-[\{[2,3-dihydro-1,4-benzodioxin-6-y]\} sulfonyl] \{2-methylropyl\}-2-methyl-3-([2-oxo-2-(3-pyridinyl)*thyl] sulfonyl]-, & (2S)- (9CI) & (CA INDEX NAME) \\ \end{array}$

Absolute stereochemistry.

191413-03-3 CAPLUS
Propanam.de, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylsethyl)propyl]-2-methyl-3-[{2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-04-4 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylaulfonyl)(2-methylropyl).anino|-2-hydroxy-1-(phenylmathyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]aulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

191412-99-4 CAPLUS
Propanande, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl}-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-00-0 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofurany1)sulfony1](2-methylropy1)anino|-2-hydroxy-1-(phenylaethy1)propy1]-2-methyl-3-[(2-oxo-2-(2-pyridiny1)ethy1]sulfony1]-, (2S)- (9CI) (CA INDEX NAME)

191413-01-1 CAPLUS
Propanamide, N-{(15,2R)-3-{(1,3-benzodioxol-5-ylsulfony1)(2-methylpropy1)aminol-2-hydroxy-1-(phenylmethyl)propy1}-2-methyl-3-{[2-oxo-2-(3-pyridiny1)ethyl]sulfony1]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propanamide, N-[(15,2R)-3-[([2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethylpropyl)-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-06-6 CAPLUS
Propanaide, N-[(15,2R)-3-((1,3-benzodioxol-5-ylsulfonyl) (2-methylpoyl) anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute sterenchemistry.

191413-07-7 CAPLUS
Propananide, N-[(15,2R)-3-[([2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-08-8 CAPLUS
Propananida, N-[(15,2R)-3-{(6-benzothiazolylsulfonyl)(2-methylroyp)lanino}-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-09-9 CAPLUS
Propanamide, N-[[15,2R]-3-[(5-benzothiazolylaulfonyl)(2nethylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-nethyl-3-[[2-oxo-2(4-pyridinyl)ethyl]aulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-10-2 CAPLUS
Propananide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofurany1)sulfony1](2-aethylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-(4-pyridiny1)ethyl]sulfonyl]-, (25) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-11-3 CAPLUS
Propananide, N-[(15, 2R)-3-{{(2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl}(2-methylpropyl)anino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{{IH-pyrazol-3-ylmethyl}sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-15-7 CAPLUS
Propanamide, N-[(15,2R)-3-{{(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)aminoj-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{{2-oxo-2-phenylethyl}sulfonyl}-, (25)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-16-8 CAPLUS
Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-17-9 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl) amino)-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-12-4 CAPLUS
Propananide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-nethylpropyl)anion]-2-hydroxy-1-(phenylnethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-13-5 CAPLUS
CN Propanamide, N-[(15, ZR)-3-[(5-benzothiazolylsulfonyl) (2nethylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-nethyl-3-[(1Hpyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-14-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(lH-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-18-0 CAPLUS
Propanam.de, N-[[15,2R]-3-[[(2,3-dihydro-5-benzofurany1)sulfony1](2-methylpropy1)amino]-2-bydrosy-1-(phenylmethyl)propy1]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-19-1 CAPLUS
Propananide, N-{(15,2R)-3-{((2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl](2-methylpropyl)anion|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(5-thiazolylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-20-4 CAPLUS
CN Propanamide, N-[(1S, 2R)-3-[(6-benzothiazolylsulfonyl) (2methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

(Continued)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191413-22-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylsethyl)propyl}-2-methyl-3-[(5-thiazolylsethyl)sulfonyl}-, (25)- (9CI) (CA INDEX NAME)

191413-24-9 CAPLUS
Propanamide, N-[(15,2R)-3-{[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-{(5-thiszolylmethyl)sulfonyl]-, (25)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

191413-26-0 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191413-36-2 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-38-4 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

191413-40-8 CAPLUS
Propanamide, N-{(15,2R)-3-[(6-benzothiazolylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

191413-29-3 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-31-7 CAPLUS
Propanamide, N-[[15,2R]-3-[[5-benzothiazolylsulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (25)- (9Cl) (CA INDEX NAME)

191413-34-0 CAPLUS Propanamide, N=[(15,2R)-3-[{(2,3-dihydro-5-benzofuranyl)sulfonyl}{2-methylpropyl}amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(2-(2-pyridinyl)ethyl]sulfonyl]-, (25)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propanamide, N={(15,2R)-3-{(5-benzothiazolylaulfonyl)}(2-methylpropyl)amino]-2-hydroxyr-(phenylmethyl)propyl]-2-methyl-3-{[(2-(3-pyridinyl)ethyl]sulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-45-3 CAPLUS Propanamide, N={ $\{15,2R\}-3-{\{(2,3-dihydro-5-benzofuranyl) sulfonyl\}}$ { $2-methylropyl\}$ amino}-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-{ $\{2-(3-pyridinyl) ethyl] sulfonyl]-, (25)-(9CI) (CA INDEX NAME)}$

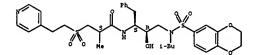
Absolute stereochemistry.

191413-47-5 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylropyl)1emino]-2-hydroxy-1-(phenylmethyll)propyl]-2-methyl-3-[(2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-49-7 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 191413-50-0 CAPLUS
CN Propananide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2sethylpropyl) snino]-2-bydroxy-1-[phenylmethyl]propyl]-2-sethyl-3-[[2-(4pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-51-1 CAPLUS

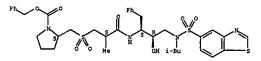
Propanamide, N-[(1S, 2R)-3-[(5-benzothiszolylsulfonyl) (2-methylpropyl) anino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-53-3 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofuranyl) sulfonyl] (2-methylpropyl) anino]-2-bydroxy-1-(phenylmethyl) propyl]-2-methyl-3-[[2-(4-pyridinyl) ethyl] sulfonyl]-, (2S)- [9C1] (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 191413-61-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[(2S)-3-[((1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl)(2-methylpropyl)amino)-2-hydroxy-1(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-,
phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-62-4 CAPLUS

Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) and noj-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-64-6 CAPLUS
Fropanaside, N-[(15, 2R)-3-[[(2, 3-dihydro-1, 4-benzodioxin-6-yl] sulfonyl] (2-methylpropyl) action)-2-hydroxy-1-(phenylmethyl) propyl)-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl] sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-56-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-{[[(2S)-3-[[(1S,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-y])sulfony]](2-nethylpropyl)amino]-2-bydroxy-1(phenylmethyl)ropyl)amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-,
phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-57-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[[6-benzothiazoly]sulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-nethyl-3-oxopropyl]sulfonyl]methyl]-,
phenylmethyl ester, (2S)- {9CI} (CA INDEX NAME)

Absolute Stereochemistru

RN 191413-58-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(5-benzothiazolylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl)aminol-2-methyl-3-oxopropyl]sulfonyl]methyl-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-65-7 CAPLUS
CN Propanamide, N-{(15,2R)-3-{(6-benzothiazolylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-{phenylmethyllpropyl]-2-methyl-3-{[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-66-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-67-9 CAPLUS
Propananide, N-[(15,2R)-3-[[(2,3-dibydro-5-benzofuranyl)sulfonyl](2-aethylropyl)anino]-2-bydroxy-1-(phenylnethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-68-0 CAPLUS

L4 ANSVER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, 3-[(3-[(2-aninoethyl)anino]-3-exopropyl)sulfonyl]-N-[(15,2R)3-((1,3-benzodiosul-5-ylsulfonyl)(2-aethylpropyl)anino]-2-hydroxy-1(phenylmathyl)propyl)-2-methyl-, (25)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-69-1 CAPLUS
CN Propanamide, 3-[(3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)-3-[((2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-70-4 CAPLUS
CN Propananide, 3-{[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-H-[(1s,2R)-3-[(6-benzothiacolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1(phenylmethyl)propyl]-2-methyl-, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 191413-71-5 CAPLUS
CN Propanamide, 3-[[3-{(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)-3-[(5-benzothiazolylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry.

RN 191413-77-1 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(5-benzothiezolylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-79-3 CAPLUS

Tropananide, N=[(15,2R)-3-[[(2,3-dihydro-5-benzofurany1)sulfony1](2methylpropy1)anino|-2-hydroxy-1-(phenylmethyl)propy1|-3-[(3-hydroxy-2(hydroxymethyl)propy1]sulfony1]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-82-8 CAPLUS
CN Propanamide, N-{[15,2R}-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-nethylpropyl)amiol-2-hydroxy-1-(phenylmethyl)propyl]-2-nethyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

FN 191413-72-6 CAPLUS
CN Propanamide, 3-[(3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-73-7 CAPLUS
Propananide, N-[(15,2R)-3-[((2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl){2methylpropyl}anino|-2-hydroxy-1-(phenylmethyl)propyl]-3-[(3-hydroxy-2(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-75-9 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiazolylaulfonyl)(2-methylpropyl) aminoj-2-hydroxy-1-(phenylaethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-84-0 CAPLUS
CN Propanamide, N-{(15,2R)-3-[(6-benzothiszolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-86-2 CAPLUS
Propanamide, N-[(15,2R)-3-[[5-benzothiazolylsulfonyl] [2-methylpropyl] amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-88-4 CAPLUS
CN Propananide, N-[(15,2R)-3-[[(2,3-dibydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191414-00-3 CAPLUS

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1-Piperazinecarboxylic acid, 4-(3-{[(25)-3-[(15,2R)-3-[(1,3-benzodioxol-5-yloulfonyl) (2-methylpropyl) amino]-2-methyl-3-oxopropyl]sulfonyl]-1-oxopropyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 191414-06-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl)aminol-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CRN 191412-85-8 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.

CH 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-C02H

RN 207686-92-6 CAPLUS
CN Propananide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methyl-propyl) anion) -2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl)-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191412-86-9 CMF C29 H38 N4 O8 52

Absolute stereochemistry.

CH 2

CRN 76-05-1 CMF C2 H F3 02 L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

RN 207686-80-4 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-3-[(1H-imidazol-4-ylmethyl)sulfonyl)-2-methyl-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 191412-84-7 CMF C29 H38 N4 08 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 207686-81-5 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) aninol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAMES)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 207686-83-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[((2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191412-90-5 CMF C30 H43 N3 O8 S2

Absolute stereochemistry.

CH 2

CRN 76-05-1

RN 207686-84-8 CAPLUS
CN Propanamids, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2S)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 191412-89-2 CMF C30 H43 N3 O8 S2

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 2

CRN 76-05-1 CMF C2 H F3 02

183004-99-1P 183005-01-8P 191413-54-4P 191413-92-0P 191413-94-2P 191413-98-0P 191414-03-8P 191414-07-0P 191414-09-2P 191414-10-5P 191414-11-6P 191414-12-7P 191414-13-6P 191414-13-6P 191414-13-9P 191414-13-9P 191414-24-1P 191414-26-3P 191414-27-4P 191414-28-1P 191416-27-4P 191416-28-5P 191466-20-1P 207686-74-6P 207686-75-7P ΙŤ

207686-75-7p
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
183004-99-1 CAPLUS
Ethanethioic acid, S-[(25)-3-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]amino)-2-methyl-3-oxopropyl) ester (SCI) (CA INDEX NAME)

RN 183005-01-8 CAPLUS

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

191413-98-6 CAPLUS
1-Fiperazinecarboxylic acid, 4-[3-[{(25)-3-[{(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

191414-04-7 CAPLUS Propanamide, N-[(13,2h)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propanamide, N=[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-(methylthio)-, (25)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

191413-54-4 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-{[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfony])(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-92-0 CAPLUS Propanamide, N-{(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(2-pyridinyl)ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

191413-94-2 CAPLUS
PropanamIde, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) anino] -2-hydroxy-1-(phenylmethyl) propyl] -2-methyl-3-[(2-oxo-2-[(2-(1-pyrrolidinyl)ethyl)amino]ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-05-8 CAPLUS
1-Piperazinecarboxylic acid, 4-[[[(2s)-3-[[(1s,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA

Absolute stereochemistry.

PAGE 1-B

191414-07-0 CAPLUS
Propanande, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-09-2 CAPLUS
Propanamide, N=[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-[(IH-imidazol-4-ylmethyl)thio]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-10-5 CAPLUS
Propanamide, N-((15,2R)-3-((1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-((1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-11-6 CAPLUS
Propanamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(lH-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-18-3 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-21-8 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylaulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl)methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-24-1 CAPLUS
Propanande, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anio]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-12-7 CAPLUS
Propanamide, 3-[(IH-benzimidazol-2-ylmethyl)thio]-N-[(15,2R)-3-[(1,3-benzodixol-5-ylsulfonyl)(2-methyl)propyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-13-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)thio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-15-0 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-{{[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-{phenylmethyl}propyl]amino]-2-methyl-3-cxopropyl}thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-26-3 CAPLUS
D-Ribofuranoside, methyl 5-5-[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]-2,3-0-(1-methylethylidene)-5-thio-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-A

INTERPRETARY CAPUS

PRINOfurnoside, methyl 5-[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl)sulfonyl]-5-deoxy-2,3-0-(1-methylethylidene)- (9CI)

(CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued) PAGE 1-A

PAGE 1-B

191414-28-5 CAPLUS
Propananida, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl]-3-[(3-bydroxy-2,2-bis(hydroxymethyl)propyl]thio]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

191486-19-8 CAPLUS
Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-bytoxyn-1-(phenylmethyl)propyl]-2-methyl-3-[((2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5[S(15,2R)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

174303-66-3P 183004-74-2P 183004-77-5P 183182-29-8P 191412-77-8P 191412-84-7P 191412-85-8P 191412-86-9P 191412-89-2P 191412-90-5P 191412-89-2P

191412-90-59 191412-94-99
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
174303-66-3 CAPLUS
Propanamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmathyl)propyl]-2-methyl-3-(methylsulfonyl)-, [2S]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-74-2 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N-[(15,2R]-3-[((2-amino-6-benzothiazoly1)sulfony1](2-mathylpropy1)amino|-2-bydroxy-1-(phenylmathyl)propy1]-2-methyl-3-(mathylsulfony1)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191486-20-1 CAPLUS
Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2-phenyl-1,3-dioxan-5-yl)methyl]sulfonyl]-, [5[s(15,2R)]]-[pertial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207686-74-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl)-2-methylp-3-[[2-[(4-morpholinyl)ethyl]amino]-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

207696-75-7 CAPLUS
Propanamide, N-([15,2R)-3-{(1,3-benzodioxol-5-ylsulfony1)(2-methylropy1)=ainol-2-bydroxy-1-{phenylmethylropy1}-2-methyl-3-{[2-oxo-2-(4-thiomorpholiny1)ethyl]thio]-, (2S)-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183182-29-8 CAPLUS
Propanamide, N-{ [15,2R}-3-{ [1,3-benzodioxol-5-ylsulfonyl] (2-methylpropyl) amino] -2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{ [(S)-methylsulfinyl]-, (2S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-77-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfony1)(2-methylropyl)aminoj-2-hydroxy-1-(phanylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-plperazinyl)propyl]sulfonyl]-, monohydrochloride, (2S)- [9CI) (CA

Absolute stereochemistry.

● HC1

191412-84-7 CAPLUS
Propanamide, N=[[15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl) propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191412-85-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191412-86-9 CAPLUS
Propanamide, N-{(15,2R)-3-{(1,3-benzedioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191412-89-2 CAPLUS
Propanamide, N-{ [15,2R}-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino|-2-hydroxy-1-(phenylmethyl)propyl|-2-methyl-3-[[(2S)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- [9CI) (CA INDEX NAME)

ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1998:41716 CAPLUS 128:115228 L4 AN DN TI

Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protesse inhibitors

retroviral protease inhibitors
Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez,
Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan;
Mcdonald, Joseph J.
G.D. Searle and Co., USA
U.S., S7 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
CODEN: USKKAM IN

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LA English
FAN.CNT 4
PATENT NO.

APPLICATION NO. DATE

APPLICATION NO. DATE

A 19980106 US 1995-478625 19950607

9628418 A1 19960919 CA 1996-2215066 19960307

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, TS, JY, KE, KC, KY, KR, KZ, LX, LR, LS, LT, LU, LY, MD, MG, MK, NN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RY: KE, LS, MW, SD, SZ, UG, AT, RE, CH, DE, DK, ES, FI, FR, GB, GR, LE, LT, LU, MC, NL, PT, SE, BF, BJ, CT, CG, CI, CM, GA
9666951 A2 1996002 AU 1996-66951 19960307

711098 B2 19991002 AU 1996-66951 19960307

711098 B2 19991002 AU 1996-69912 1996-911276

R: AT, BE, CH, DE, DK, KS. " US 5705500 CA 2215066 WO 9628418 AU 9666951 AU 711098 EP 813519 EP EP 813519

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,

SI, LT, LV, FI

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A 19980630 CN 1996-193609 19960307

BR 9607450 A 19980630 ER 1996-7450 19960307

JP 11503414 T2 19990326 JP 1996-527646 19960307

EP 1052250 A1 20001115 EP 2000-114155 19960307

EP 1052250 B1 20040714 EP 1052250 AI 20001115 EP 2000-114155 19960307
EP 1052250 BI 20040714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI 20010515 AT 1996-911229 19960307
EP 2157437 T3 20010816 ES 1996-911229 19960307
EP 2157437 T3 20010816 ES 1996-911229 19960307
EP 2157439 BS 20030109 SK 1997-1223 19960307
EP 2157439 BS 20030109 KP 1996-31229 19960307
EP 2157439 BI 20030930 PL 1996-322169 19960307
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AT 271034 E 20040715 AT 2000-114155 19960307
EF 4360 BI 20040816 EE 1997-199 19960307
NO 3704166 A 13971107 NO 1997-4166 19970909
NO 310353 BI 2001052
GR 3036254 T3 20011031 GR 2001-401103 20010724

PPAIL US 1995-401838 B2 19950310
US 1995-478625 A 19950507
EP 1996-911229 A3 19960307
VO 1996-US2662 V 19960307
OS HARPAT 128:115228
AB SULFONJALHANOVIENIENCH (CH) CHENN3SOZR4 (RI = H, slkyl, slkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanosalkyl, CH2CONH2, CH2CH2CONH2, CH2CONH2, cyclosalkyl, slkythiosalkyl, arylthiosalkyl, cyclosalkylskyl, y3 = alkyl, cyclosalkyl, slkythiosalkyl, raylthiosalkyl, cyclosalkylskyl, raylendomy-3-[(2-nethylpropyl][(1,3-benzodioxol-5-y1) sulfonyl] amino]-15-

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191412-90-5 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191412-94-9 CAPLUS
Propanamide, N-{ [15,2R}-3-[{1,3-benzodioxol-5-ylsulfonyl})(2-methylpropyl) amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2,2-bis(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (phenylmethyl)propyl)-25-methyl-3-(methylsulfonyl)propanamide was prepd. and assayed for HIV protesse inhibitory activity (IC50 - 2 nM; EC50 - 20

and assayed for HIV protease innibitory and assayed for HIV protease innibitory and in the protein and in th

Absolute stereochemistry.

183004-72-0 CAPLUS
Propanamide, N-{ (15,2R)-3-{(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl} (2-methylpropyl)sulfonyl-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

183004-73-1 CAPLUS
Propananida, N-[(15,2R)-3-[(6-benzothiezolylsulfonyl)(2-methylropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)-2-methyl-3-(methylsulfonyl)-, (25)- (SCI) (CA INDEX NAME)

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

183004-74-2 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

183004-78-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiszolylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

183182-29-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

retroviral processe inhibitors | 183004-75-3 CAPLUS | 183004-75-3 CAPLUS | 183004-75-3 CAPLUS | 187004-75-3 CAPLUS

Absolute stereochemistry.

183004-76-4 CAPLUS
Carbanic acid, [5-[[[(2R,3s)-2-hydroxy-3-[[(2s)-2-methyl-3-(methylsulfonyl)-1-oxopropyl)amino]-4-phenylbutyl][(2-methylpropyl)|amino]sulfonyl]-1H-benzimidszol-2-yl]-, methyl ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N=[(15,2R)-3-[((2-amino-6-benzothiazolyl)sulfonyl](2-methylropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183004-99-1P 183005-01-8P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or resgent)
(preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
183004-99-1 CAPLUS
Ethanethioic acid, S-[(2S)-3-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

183005-01-8 CAPLUS
Propananide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methyltbio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-75-3F 183004-76-4F 183004-77-5F RL: SPN (Synthetic preparation) FREF (Preparation) (preparation of sulfonylalkanoylamino bydroxyethylamino sulfonamide

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1997:450087 CAPLUS 127:65754

Preparation of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl]arylsu lfonamides and analogs as retroviral protease inhibitors Sikorski, James A.; Getman, Deniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Rwang-fun; Mcdonald, Joseph J. G.D. Searle and Co., USA; Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hwang-Fun; Mcdonald, Joseph J. PCT Int. Appl., 68 pp. CODEN: PIKKD2
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AB RSSOt(CH2) nC(:W)NHCHR2CH(OH)CH2NR3SO2R4 [1; R1 = H, (un) substituted alkyl, alkenyl, etc.; R2 = (ar) alkyl, alkylthioalkyl, arythioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylalkyl, etc.; R5 = heterocycly[alkyl], (heterolaryl[alkyl], etc.; W = 0 or S; n,t = 0-2] were prepared Thus, (2R, 3S) = PhCH2O2CHHCH(CH2Ph) CH(OH) CH2NHCH2CH9+2 (preparation given) was anidated by denzodioxole-5-sulfonyl chloride and the deprotacted product anidated by (S)-AcSCH2CH4CO2H to give, after deprotaction, sulfonanide II (R = SH). The latter was S-alkylated by 2(2-chlorotchyl) pyridine (preparation given) and the product oxidized to give II (R = 2-(2-yyridyl) athylaulfonyl). Data for biol. activity of I were given.

II NIA13-28-49

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ANSWER 14 07 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study, unclassified), RCT (Reactant), SPN (Synthetic preparation), THU (Therapeutic use), BloL (Biological study), PREF (Preparation), RACT (Reactant or reagent), USES (Uses) (prepn. of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl]arylsul fonamides and analogs as retroviral protease inhibitors) 191413-54-4 CAPLUS 1-Pyrrolidinecarboxylic acid, 2-[[[2S]-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]anino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

17 174303-66-3P 183004-74-2P 183004-77-5P 183182-28-8P 191412-75-6P 191412-76-7P 191412-77-8P 191412-75-6P 191412-75-6P 191412-78-9P 191412-89-P 191412-89-P 191412-89-P 191412-89-P 191412-89-P 191412-89-P 191412-89-P 191412-89-P 191412-98-P 191413-08-P 191413-08-P 191413-08-P 191413-08-P 191413-08-P 191413-08-P 191413-19-P 191413-19-P 191413-11-P 191413-11-P 191413-11-P 191413-12-P 191413-18-P 191413-18-P 191413-18-P 191413-28-P 191413-28-P 191413-34-P 191413-32-P 191413-34-P 191413-38-P 191413-38-P 191413-48-P 191413-48-P 191413-58-P 191413-58-P 191413-58-P 191413-58-P 191413-58-P 191413-58-P 191413-58-P 191413-68-P 191413-68-P 191413-58-P 191413-58-P 191413-68-P 191413-68-P 191413-58-P 191413-58-P 191413-68-P 191413-68-P 191413-58-P 191413-58-P 191413-68-P 191413-68-P 191413-68-P 191413-58-P 191413-58-P 191413-78-P 19141

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propansmide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylproyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-75-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

191412-76-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-[[2-(1-oxido-1-pyrrolidinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

191412-77-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benrodioxol-5-ylsulfonyl)(2-methylropyl)laminoj-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperaxinyl)propyl]sulfonyl]-, menohydrochloride, (25)- (9CI) (CA

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) fonanides and analogs as retroviral protease inhibitors)
RN 174303-66-3 CAPLUS
Propananide, N-[[15, 2R]-3-[(1, 3-benzodioxol-5-ylsulfonyl) (2-aethylpropyl) anio]-2-hydroxyl-(phenylpacthyl) propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-74-2 CAPLUS
Propanamide, N=[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N=[(15,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

183182-29-8 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

• HCl

191412-78-9 CAPLUS
Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amiol-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-[(2-(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI)

Absolute stereochemistry.

191412-79-0 CAPLUS
Propanamide, N-{(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl) propyl]-2-methyl-3-{[2-{4-morpholinyl}-2-oxoethyl]sulfonyl}-, (2S)- (9CI) (CA INDEX NAME)

191412-80-3 CAPLUS
Propananide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{[2-oxo-2-(1-piperszinyl)ethyl]sulfonyl]-, monohydrochloride, (25)- (9CI) (CA INDEX

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS ON STN NAME) (Continued)

Absolute stereochemistry.

• HCl

191412-81-4 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) {2-methylpropyl} anino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

191412-82-5 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl)-3-[(2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]sulfonyl)-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-83-6 CAPLUS
Butanoic acid, 4-[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

 $\begin{array}{lll} 191412-87-0 & CAPLUS \\ \texttt{Propanamide}, & 3-\left\{(1\text{Hr-benzimidazol-2-ylmethyl}\right\} & \texttt{sulfonyl}\right]-N-\left\{(1S,2R)-3-\left\{(1,3-benzolino5)-5-ylsulfonyl\right\}\left(2-methylpropyl\right) & \texttt{anino}\right\}-2-hydroxy-1-\left\{(phenylmethyl)propyl\right\}-2-methyl-, & (2S)- & (9CI) & (CA INDEX NAME) \\ \end{array}$

Absolute stereochemistry.

191412-88-1 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

191412-89-2 CAPLUS
Propanamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[(25)-2-pyrrolidinylmethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STM (Continued) methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl) amino]-2-methyl-3-oxopropyl)thio]-, ethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

191412-84-7 CAPLUS
Propanamide, N-{[15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

191412-85-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191412-86-9 CAPLUS
Propanamide, N-[(1S,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methypyrazol-4-ylmethyl)sulfonyl}-, (2S)- (9CI) (CA INDEX NAME) ethy1-3-[(1H-

Absolute stereochemistry.

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propananide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylaulfonyl) (2-methylpropyl)anino)-2-bydroxy-1-(phenylnethyl)propyl)-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

191412-91-6 CAPLUS
Propanamide, N-[(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)} (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

191412-92-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-(phanylmethyl)propyl]-3-[(3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191412-93-8 CAPLUS
D-Ribofuranoside, methyl 5-{{(25)-3-{{(15,2R)-3-{{(1,3-benzodioxol-5-ylsulfonyl)(2-methyl)propyl)amino}-2-hydroxy-1-{phenylmethyl)propyl}amino}-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy- (9Cl) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

MeO S S H S R 1-Bu

RN 191412-94-9 CAPLUS
CN Propananide, N-{(15, 2R)-3-{(1, 3-benzodioxol-5-ylsulfonyl) (2mathylpropyl) anion|-2-hydroxy-1-(phenylmethyl)propyl)-3-{(3-hydroxy-2, 2bis(hydroxymethyl)propyl)sulfonyl}-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191412-95-0 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (25) (CA INDEX NAME)

Absolute stereochemistry.

RN 191412-96-1 CAPLUS
CN Propanamide, N-[[15,2R]-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-bydroxy-1-[phenylmethyl]propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (25) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-01-1 CAPLUS
Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) aminoj-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-02-2 CAPLUS
Propananide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-03-3 CAPLUS

Propananide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2methylpropyl) naino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191412-97-2 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(6-benzothiszolylsulfonyl) {2nethylpropyl)amion|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[{2-oxo-2(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191412-99-4 CAPLUS

Propansaide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2aethylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-00-0 CAPLUS
CN Propanamide, N-[(1S, 2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl) (2methylpropyl) annion|2-phydroxyl-1(phenylnethyl)propyl]-2-methyl-3-[[2-oxo-2(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 191413-05-5 CAPLUS
Propanamide, N-[(1S,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

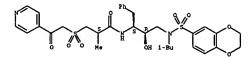
Absolute stereochemistry.

RN 191413-06-6 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-nethylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-07-7 CAPLUS
CN Propananide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-nethylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-nethyl-3-[[(2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 191413-08-8 CAPLUS
Propananide, N-[(IS,2R)-3-[(6-benzothiszolylsulfonyl)(2methylpropyl) minoj-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-09-9 CAPLUS
Propanamida, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2methylpropyl) naino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2(4-pyridinyl)ethyl]sulfonyl]-, (25)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-10-2 CAPLUS
Propananide, N-[(1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-14-6 CAPLUS
Propananide, N-[(15,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-math)propyl)n-[(15,2R)-3-[(lh-pyrazol-3-ylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-15-7 CAPLUS
Propananide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-16-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (25)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-11-3 CAPLUS
CN Propananide, N-[(15,2R)-3-[((2,3-dibydro-1,4-benzodioxin-6-yl)sulfonyl](2-aethylpropyl)aniol-2-hydroxy-1-(phenylmethyl)propyl]-2-aethyl-3-[(H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-12-4 CAPLUS
CN Propanamide, N-{(15,2R)-3-{(6-benzothiazolylsulfonyl) (2-methylpropyl) amino}-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-13-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(1H-pyrszol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-17-9 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-18-0 CAPLUS
Propanamide, N-[(15,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-19-1 CAPLUS
CN Propenanide, N-{(15,2R)-3-{(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl}(2-nethylpropyl)aniol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-20-4 CAPLUS
Propananida, N-[(1S, 2R)-3-[(6-benzothiazolylaulfonyl) (2mathylpropyl) maino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-22-6 CAPLUS
CN Propanamide, N-[(15,2R)-3-((5-benzothiazolylsulfonyl)(2-mathylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{(5-thiazolylmethyl)sulfonyl]-, (25)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-24-8 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiezolylmethyl)sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-34-0 CAPLUS

Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-36-2 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) and no]-2-bydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-38-4 CAPLUS
Propananide, N-[(15,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl](2-methylpropyl)anio]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-26-0 CAPLUS
CN Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl](2-methylpropyl)almino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-29-3 CAPLUS

Propanamide, N-[(15, 2R)-3-[(6-benzothiazolylaulfonyl)(2methylpropyl) minol-2-hydroxy-1-[phenylmethyl)propyl]-2-methyl-3-[[2-(2pyridinyl)ethyl]aulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 191413-31-7 CAPLUS
Propananide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[(15,2R)-3-[(6-benzothiazolylaulfonyl) (2methylpropyl) amino]-2-hydrowy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(3pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-43-1 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(5-benzothiszolylsulfonyl)(2-methylpropyl) and no]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

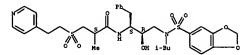
RN 191413-45-3 CAPLUS

CN Propananide, N-[(15, 2R)-3-[(2, 3-dihydro-5-benzofuranyl) sulfonyl] (2-methylpropyl) anion)-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-47-5 CAPLUS
CN Propananide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) anion]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



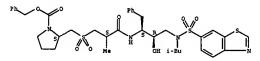
191413-49-7 CAPLUS
Propanamide, N-{ [15,2R}-3-[((2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl] (2-methylpropyl) anino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[[2-(4-pyridinyl)sthyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

191413-50-0 CAPLUS
Propanamide, N-[[15,2R]-3-[(6-benzothiszolylsulfonyl) [2-methylpropyl] amino]-2-hydroxy-1-(phenylsethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, [2S]- [9CI] (CA INDEX NAME)

191413-51-1 CAPLUS
Propanamide, N-[(15,2R)-3-((5-benzothiszolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



191413-58-8 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(25)-3-[[(15,2R)-3-([5-benzothizolylsulfonyl)[2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxporpyl]sulfonyl]methyl}-, phenylmethyl ester, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-61-3 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[((2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl]methyl)-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-62-4 CAPLUS
Propanamida, N-[(18,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylropyl) amino]-2-hydroxy-1-[phenylmethyl) propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

191413-53-3 CAPLUS
Propanamide, N={[15,2R}-3-[{[2,3-dihydro-5-benzofuranyl]sulfonyl]{2-nethylpropyl}amino|-2-hydroxy-1-{phenylmethyl}propyl}-2-methyl-3-{{2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

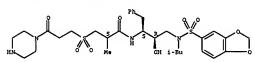
191413-56-6 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[[(2,3-dihydro-1,4-benzodloxin-6-yl]sulfonyl](2-methyl)propyl)amino]-2-hydroxy-1(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-,
phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-57-7 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(6-benzothiazoly]sulfonyl) (2-methylpropyl) amino]-2-hydroxy-1(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-,
phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



Absolute stereochemistry.

191413-65-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiszolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-[phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-66-8 CAPLUS
Propananida, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-67-9 CAPLUS

L4 ANSWER 14 OF 19 -CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-{(15,2R)-3-[(2,3-dihydro-5-beazofuranyl)sulfonyl](2methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-{[3-oxo-3(1-piperazinyl)propyl)sulfonyl]-, (25)- (9CI) (CA INDEX RAME)

Absolute stereochemistry.

RN 191413-68-0 CAPLUS
CN Propanamide, 3-[[3-[(2-aminoethyl) amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydromy-1(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-69-1 CAPLUS
CN Propanamide, 3-[[3-[(2-mminosthyl)amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)-3-[([2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-70-4 CAPLUS
CN Propanamide, 3-[[3-[(2-aminoethyl) amino]-3-oxopropyl]sulfonyl]-H-[(15,2R)-3-((6-benzothiazotylsulfonyl) (2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-75-9 CAPLUS
CN Propanamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)anio|2-hydroxy-1-(phenylmethyl)propyl)-3-[[3-hydroxy-2-(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-77-1 CAPLUS
Propananide, N-[[15,2R]-3-[(5-benzothiazolylsulfonyl)(2methyl)propyl]amlno]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2(hydroxymethyl)propyl]sulfonyl]-2-methyl-, [25]- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-79-3 CAPLUS
CN Propanamide, N-[(15,2R)-3-[([2,3-dihydro-5-benzofuranyl)sulfonyl] (2-methylpropyl)amion]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry.

RN 191413-71-5 CAPLUS
CN Propananide, 3-[(3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-H-[(15,2R)-3-((5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1(phenylmethyl)propyl]-2-methyl-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-72-6 CAPLUS
Propanamide, 3-[(3-[(2-aminoethyl) amino]-3-oxopropyl]sulfonyl]-N-[(15,2R)-3-[([(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} H_2N & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 191413-73-7 CAPLUS
CN Propanamide, N-[(15,2R)-3-[([2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl] (2-metbyl)propyl] amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 191413-82-8 CAPLUS
CN Propananide, N-[(15,2R)-3-[({2,3-dihydro-1,4-benzodioxin-6-yl}sulfonyl](2-methylpropyl)anino|2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 191413-84-0 CAPLUS
CN Propananide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2R)-2pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 191413-86-2 CAPLUS
CN Propananide, N-{(15,2R)-3-{(5-benzothiazolylaulfonyl)(2-methylpropyl)aniol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-{{(2R)-2-pyrrolidinylmethyl]aulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191413-88-4 CAPLUS
Propanamide, N-[(15,2R)-3-{[(2,3-dihydro-5-benzofurany1)sulfony1](2-methylpropy1)anino|-2-hydroxy-1-(phenylmethy1)propy1]-2-methyl-3-[{(2R)-2-pyrrolidinylmethy1]sulfony1}-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

II 183004-99-1P 183005-01-6P 191413-92-0P
191413-94-2P 191413-98-6P 191414-00-3P
191414-06-9P 191414-07-9P 191414-08-1P
191414-06-9P 191414-07-9P 191414-08-1P
191414-06-9P 191414-10-5P 191414-11-6P
191414-12-7P 191414-12-6P 191414-13-0P
191414-12-7P 191414-21-6P 191414-28-5P
191414-16-3P 191414-21-6P 191414-28-5P
191414-26-3P 191414-27-0P 191414-28-5P
191465-19-6P 191465-20-1P
RL: RCT (Reactant): SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or respent)
(preparation of
N-[2-bydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl] arylsul
fonamides and analogs as retroviral protease inhibitors)
RN 183004-99-1 CAPLUS
CN Ethanethicic scid, S-[(2S)-3-[(1S, 2R)-3-[(1, 3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3oxopropyl] sster (SCI) (CA INDEX NAME)

Absolute stereochemistry.

183005-01-8 CAPLUS
Propanamide, N={(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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191414-00-3 CAPLUS
1-Piperazinecarboxylic acid, 4-[3-[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylbulfonyl)](2-methyl)propyl)amino]-2-methyl-3-oxopropyl]sulfonyl]-1-oxopropyl]-, 1,1-dimethylethyl ester
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

191414-02-5 CAPLUS
Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191413-92-0 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amio)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-(2-pyridinyl)ethyl]thio]-, (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

191413-94-2 CAPLUS
Propanamide, N-[(15, 2R)-3-[(1, 3-benzodioxol-5-ylsulfony1) (2-methylpropyl) amino]-2-hydroxy-1-{phenylmethyl}propyl}-2-methyl-3-[[2-oxo-2-[[2-(1-pyrrolidinyl)ethyl]amino]ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191413-98-6 CAPLUS
1-Piperazinecarboxylic acid, 4-[3-[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl)anino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) hydroxy-1-(phenylaethyl)propyl]-2-methyl-3-[[2-[[2-(4-oxido-4-morpholiny]+ethyl]=mino]-2-oxeethyllthio]-. [18-[18-[47, 28*1]- [9CI) (CA

Absolute stereochemistry

191414-04-7 CAPLUS
Propananida, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylropyl)amino)-2-hydroxy-1-(phenylmethyll)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

191414-05-8 CAPLUS
1-Piperazinecarboxylic acid, 4-[[{(25)-3-[{(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS OR STN

(Continued)

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191414-06-9 CAPLUS
1-Piperazinecarboxylic acid, 4-[[([2S)-3-[[(1S,2R)-3-[(1,3-benzzdioxol-5-ylsulfonyl)(2-methylpropyl)anino]-2-bydroxy-1-[phenylmethyl]propyl]anino]-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

191414-07-0 CAPLUS
Propanande, N-([15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl) {2-methylpropyl}anino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-({2-oxo-2-phenylethyl)thio}-, {2S}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-11-6 CAPLUS
Propanamide, N-[(1s, 2R)-3-[(1,3-benzodicxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(lH-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,

191414-12-7 CAPLUS
Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)thio]-N-[(1S,2R)-3-[(1,3-benzodloxol-5-ylsulfonyl)(2-methylpropyl)amlnol-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-13-8 CAPLUS
Propanamide, N={(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminol-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl-3-{(5-thiazolylmethyl)thiol-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-15-0 CAPLUS

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-08-1 CAPLUS
Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl) (2-mathylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]thio]-2-methyl-, [15-[1R*(R*),25*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-09-2 CAPLUS
Propananide, N-[(1s, 2R)-3-[(1, 3-benzodioxol-5-ylsulfonyl) (2-methyl)ropyl) aninol-2-hydroxy-1-(phenylmethyl) propyl]-3-[(H-imidezol-4-ylmethyl) thio)-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-10-5 CAPLUS
Propanamide, N-{ [15,2R}-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino|-2-hydroxy-1-(phenylmethyl)propyl)-2-methyl-3-[(1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1-Pyrrolidinecarboxylic acid, 2-[[[(25)-3-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl)thio]methyl]-, phenylmethyl ester, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

191414-18-3 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-nethyl-3-oxopropyl}thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA

Absolute stereochemistry.

191414-21-8 CAPLUS
1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylbulfonyl)(2-mathylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl)sulfonyl]methyl]-, phenylmethyl ester, (2R)- (SCI)(CA INDEX NAME)

Absolute stereochemistry.

191414-24-1 CAPLUS
Propananda, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191414-26-3 CAPLUS
D-Ribofuranoside, methyl 5-5-{(2S)-3-{(1S,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)aminoj-2-hydroxy-1-{phenylmethyl)propyl]aminoj-2-methyl-3-oxopropyl}-2,3-0-{1-methylethylidene}-5-thio-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

191414-27-4 CAPLUS
D-Ribofuranoside, methyl 5-[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-{phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-2,3-0-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

191486-20-1 CAPLUS
Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2-phenyl-1,3-dioxan-5-yl)methyl]sulfonyl]-, [5[S(15,2R)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-A

PAGE 1-B

191414-28-5 CAPLUS
Propanamide, N=[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) aminol-2-hydroxy-1-(phenylmethyl)propyl]-3-[(3-bydroxy-2,2-bis(hydroxymethyl)propyl)thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

191486-19-8 CAPLUS Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl) [2-methylpropyl) amino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[(2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5[s[15,2R)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1997:8904 CAPLUS 126:31657
Preparation of N-heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide as retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A., Freskos, John N., Vazquez, Hichael L., Sikorski, James A., Devadas, Balekudru, Nagarajan, Srinivasan, Brown, David L., Mcdonald, Joseph J.
G.D. Searle and Co., USA, Devadas, Balekudru, Nagarajan, Srinivasan, Prown, David L., Hodonald, Joseph J.
G.D. Searle and Co., USA, PCT Int. Appl., 184 pp.
CODEN: PIXXD2
Patent
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	PAT	ENT I	NO.								APPL	ICAT	ION	NO.		D.	ATE		
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PI	WO	9628	465			A1		1996	0919		WO 1	996-	US26	83		1:	9960	307	
		W:	AL,	AM,	AT,	AU,	AZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LS,	LT,	
			LU,	LV,	MD.	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
			SG,	SI															
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			IE,	IT.	LU.	MC.	NL,	PT.	SE,	BF,	BJ,	CF,	CG,	CI.	CM,	GΑ			
	US	5776	971			A.		1998	0707		us i	995-	4741	17		1	9950	607	
		9654																	
	AU	7175	98			B2		2000	0330										
	EP	8151	24			A1		1998	0107		EP 1	996-	9112	30		1	9960	307	
	EP	8151	24			В1		2002	1204										
		R:	AT.	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	PT.	IE.	FI
	JP	1150							0216			996-							
	BR	9607	625			Ä		1999	0615			996-							
	RU	2174	519			C2			1010										
	AT	2174	33			R		2002	1215		AT 1	996-	9112	30		1	9960	307	
	PI.	1847	71			R1			1231										
		9704							1104										
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L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Selected heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide compds. of formula [Ir Rl = Cl-5 alkyl, C2-5 alkenyl or alkynyl, Cl-3 hydroxyalkyl, Cl-3 alkoxy-Cl-3 alkyl, cyano-Cl-3 alkyl, inidazolylmethyl, CH2CONHZ, CH2CIS(HNE (n = 0,1,2)), CH2(5) oHe (n = 0,1,2)), R2 = Cl-5 alkyl, Cl-3 alkyl, alkylthio-Cl-3 alkyl, arylthio-Cl-3 alkyl, 3- to 6-membered cycloalkyl-Cl-3 alkyl, R4 = aryl, benzo-fused 5- to 6-membered heteroaryl or heterocyclyl, etc., R10 = H, Cl-3 hydroxyalkyl, alkoxy-Cl-3 alkyl, R12, R13 = H, CH, MCHIZCHZ, Cl-3 hydroxyalkyl, alkoxy-Cl-3 alkyl, OR R11 and R12 or R12 and R13 along with the C atoms to which they are attached represent a benzo radical optionally substituted with at least one HO or Cl-3 alkoxy radical] are effective as retroviral protease inhibitors, and in particular as inhibitor of HIV protease, and for the treatment of AIDS. The present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compds., composition and method for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and the treatment of a retrovirual infection. Thus, tert-leucine derivative (II) R = H) sparation given) was condensed with 2-Pro-OH using 1-ethyl-3-(3-

retroviral infection. Thus, tert-leucine derivative (II, R = H)
paration
given) was condensed with 2-Pro-OH using 1-ethyl-3-(3dimethylaminopropyl)carbodimide hydrochloride and HOBt in DMF followed by
hydrogenolysis and acidification with HCl to give proline-containing peptide
analog II.HCl (R = H-Pro). The latter compound in vitro showed ICSO of 1 nM
for inhibiting the proliferation of HHV-1 in CEM cells.
183553-90-49 183553-91-59 18353-92-69
RL: RCT (Reactant), SFN (Synthetic preparation), PREP (Preparation), RACT
(Reactant or reagent)
(preparation of N-heterocyclecarbonyl maino acid N[hydroxy(sulfonamido)propyl)amides as retroviral protesse inhibitors
for AIDS treatment)
183553-90-4 CAPLUS
Carbamic acid, (IR)-2-[[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1[(acthylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA
INDEX NAME)

ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

183553-91-5 CAPLUS
Carbamic acid, [(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) {2-methylpropyl} amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

DN	126: 19331			
TI	Preparation of pept	ide hydroxyethyl.	aminosulfonamide anal	logs as retroviral
	protease inhibitors	,		-
IN	Getman, Daniel P.;	Decrescenzo, Gar	y A.; Freskos, John N	N.; Vazguez.
			adas, Balekudrus Naga	
	Brown, David L.; Mc			,
PA	G.D. Searle and Co.			
50	PCT Int. Appl., 212			
	CODEN: PIXXD2			
DT	Patent			
LA	English			
	CNT 5			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
				DA16
PI	WO 9628463		WO 1996-US2684	19960307
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	PS PI CR	GF WII IS JD	KE, KG, KP, KR, KZ,	IV ID IC IT
			MX, NO, NZ, PL, PT,	
	SG, SI	nu, nk, nn, nw,	AA, NO, NZ, PL, PI,	RO, RO, SD, SB,
		en en 110 am	BE, CH, DE, DK, ES,	MY MD CD CD
	US 5756533		BF, BJ, CF, CG, CI,	
	AU 9650294	A 19980526	US 1995-474052	19950607
		A1 19961002	AU 1996-50294	19960307
	AU 705268 EP 813542	82 19990520	KP 1996-907135	
				19960307
	EP 813542	B1 20021016		
			GB, GR, IT, LI, LU,	NL, SE, PT, 1E,
	SI, LT, LV,			
		A 19980526		19960307
	JP 2001513746	T2 20010904	JP 1996-527648	
	AT 226213	E 20021115	AT 1996-907135 EP 2002-11526	19960307
	EP 1258491	A1 20021120	EP 2002-11526	19960307
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, PT, IE,
	SI, LT, LV,			
	PL 184748	B1 20021231	PL 1996-322784	19960307
	EE 4349	B1 20040816	EE 1997-201	19960307
	NO 9704148	A 19971027	NO 1997-4148	19970909
	US 5968970	A 19991019 A1 20020815		19980102
	US 2002111368	A1 20020815	US 2001-836443	20010418
	US 6458785	B2 20021001		
PRAI	US 1995-402287	A2 19950310		
	US 1995-474052	A2 19950607		
	EP 1996-907135	A3 19960307		
	WO 1996-US2684	W 19960307		
	US 1999-451920	A3 19991201		
0S	MARPAT 126:19331			
GT				

ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1996:748344 CAPLUS 126:19331

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds, (I; n = 1, 2; Rl = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylalkyl, CHZCOMHZ, CHZSOMe, etc.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = aryl, benzohsteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R13, R14 = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; R13, R13R1 = atoms to form 5-6 membered heteroaryl or benzo rings), were prepared Thus, title compound (II), arred

nared
by solution phase means, inhibited HIV protease with IC50 = 2 nM.
183553-69-17 183612-50-27
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid hydroxyethylaminosulfonamide retroviral

(preparation of amino acid hydroxyethylaminosulfonamide retroviral protease
inhibitors)

RN 183553-89-1 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl) amino]-1-[(methylsulfonyl)methyl)-2-oxoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183553-91-5 CAPLUS Carbamic acid, [(1R)-2-[((1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl) amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[[15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino]-2-hydroxy-1- (phenylmethyl) propyl]-3- (methylsulfonyl)-, monohydrochloride, (2R]- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

183553-93-7 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) amino)-2-hydroxy-1-(phenylmethyl)propyl)-2-

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS On STN (Continued)

• HCl

183812-50-2 CAPLUS
1-Pyrrolidineacetamide, N-[{1R}-2-[{15,2R}-3-{1,3-benzodioxol-5-ylsulfony}](2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl}amino]-1-[{methylsulfony}]methyl]-2-oxosthyl]- (9C1) (CA INDEX NAME)

183553-90-4P 183553-91-5P 183553-92-6P
183553-93-7P
RL: RCT (Reactant), SPN (Synthetic preparation), FREP (Preparation), RACT (Reactant or respent)
(preparation of amino acid hydroxyethylaminosulfonamide retroviral

(preparation of amino acid hydroxyethylaminosulfonamide retroviral protease
inhibitors)
RN 183553-90-4 CAPLUS
CN Carbamic acid, [(1R)-2-[[(15,2R)-3-((1,3-benzodioxol-5-ylaulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) [(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Page 37 10/677,729

ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1996:733943 CAPLUS 126:8710 Preparation of bisamino acid hydroxyethylaminosulfonamide retroviral DN TI preparation of Disamino acid hydroxyethylaminosulfonanide retroviral protease inhibitors.

Getman, Daniel P., Descrescenzo, Gary A.; Freskos, John N.; Vasquez, Michael L.; Sikorski, Janes A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; Mcdonald, Joseph J.

G.D. Searle and Co., USA
PCT Int. Appl., 255 pp.
CODEN: PIXXD2
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464 A1 19960919 WO 1996-U32685 19960307
AL, AH, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, PI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

KE, LS. MW. SD, SZ, MG. PATENT NO. WO 9628464 SG, SI

WY: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, PI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

US 6150556 A 20001121 US 1995-479071 19950507

AU 9653561 Al 19961002 AU 1996-53561 19960307

AU 704360 E2 19990422

BR 9607543 A 19971223 BR 1996-7543 19960307 PL 1996-322163 PL 1996-352508 RE 1997-200 NO 1997-4149 US 1998-913096 B1 B1 PL 185108 PL 185543 EE 4376 NO 9704149 US 6143788 PRAI US 1995-402450 US 1995-479071 EP 1996-910337 WO 1996-US2685 20030228 20030530 20041015 19971105 20001107 19960307 B1 A A A2 A A3

ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

MARPAT 126:8710

183553-92-6 CAPLUS
Propanamide, 2-amino-N-[(1s,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,monchydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

183553-93-7 CAPLUS
Propanamide, N-([15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phanylmethyl)propyl]-2[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

RIGRIZNCRIORNICONHCHRICONHCHRZCH(OH) CHZNR3SOZR4 (RI = alkyl, alkenyl, alkynyl, hydroxyslkyl, alkoxyalkyl, CHZCHZCONHZ, CHeZSMe, etc., R2 = alkyl, aralkyl, slkylthioalkyl, arylthioalkyl, cycloalkyl, R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = aryl, heteroaryl, heterocyclyl, benobeteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl, slkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl aralkyl, heteroaralkyl, alkylthioalkyl, etc.; R12, R13 = H, alkyl, aralkyl, heteroaralkyl, cycloalkyl, cycl with

IC50 = 1 nM. 183553-90-4P 183553-91-5P 183553-92-6P 183553-93-7P IT

183533-93-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bisamino acid hydroxyethylaminosulfonamide retroviral protease inhibitors)
183553-90-4 CAPLUS

Carbamic acid, (1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (2-methylpropyl) and no]-2-hydroxy-1-(phenylmethyl) propyl) amino]-1-[(methylthio)methyl]-2-oxoethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183553-91-5 CAPLUS
Carbamic acid, [(1R)-2-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl]propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN 1996:667025 CAPLUS 125:328302 L4 AN DN TI

125:328302
Preparation of N-[[(sulfonyialkenoyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors
Getaan, Daniel P., Decrescenzo, Gary A.; Freskos, John N.; Vazquez,
Michael L.; Sikorski, James A.; Devades, Balekudru; Nagarajan, Srinivasan;
HcDonald, Joseph J.
G.D. Searle and Co., USA
PCT Int. Appl., 171 pp.
CODEM: PIXXD2 IN

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			SG,															
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	ΑU	9666	951			A1		1996	1002		AU :	1996-	6695	1		1	9960	307
	λU	7110	98			BŻ		1999	1007			1996- 1996-						
	EP	8135	19			λl		1997	1229		EP :	1996-	9112	29		1	9960	307
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	AT	2010	14			E		2001	0515		AT :	1996-	9112	29		19	9960	307
	SK	2828	93			B6		2003	0109		5K :	1997-	1223			15	9960	307
	PL	18 60	59			В1					PL :	1996-	3221	69		19	9960	307
	EE	4340	146 53 870			В1		2004	0816		KE :	1997- 1997-	199			19	9960	307
	NO	9704	146			Α.		1997	1107		NO :	1997-	4146			19	9970	909
	NO	3103	53			ы		2001	0625									
	US	5985	188			۸.		1999	1116		US :	1997- 2000-	9130	69		1	9971	219
			188			B1		2002										
		3036	454			T3		2001				2001-						
	05	6667	1911	00		A1		2003			US 4	2002-	8212	3		24	0020	226
			30 / 1477!			B2 A1		2003										
			-401			VI		2004 1995	0729		US 4	2003-	6///	29		21	0021	103
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			-US2			v		1996										
			-9130			Äl		1997										
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	116	1222	-4113 -672	440		A1 A1		2000										
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L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RSSOn(CH2)nCHC1RCONHCHR2CH(OH) CH2NR3SO2R4 [1, R1 = H, (hydroxy)alkyl, CH2CONH2, etc., R2 = (ar)alkyl, alkylthioalkyl, etc., R3 = (cyclo)alkyl, cycloalkylnethyl, R4 = heterocyclyl, heteroaryl, etc., R5 = (ar)alkyl, cycloalkylnethyl, R4 = heterocyclyl, heteroaryl, etc., R5 = (ar)alkyl, alkynyl, n, n = 0-2; ware prepared Thus, (25,35)-N-benryloxycarbonyl-3-amino-1,2-spoxy-4-phenylbutane (preparation given) was condensed with Me2CRCHZCHZNH2 and the product anidated by 3.4 (Me0)CRSSO2Cl to give, after deprotection and (S)-MeSO2CHZCHMeCOZH amidation, title compound II. Data for activity of selected i in an in vitro HIV inhibition ansay were given and all subsequence of the selected in an in vitro HIV inhibition 174502-65-3p 183004-73-3p 183004-76-4p 183004-75-9 183004-75-3p 183004-76-4p 183004-75-5p 183004-75-3p 183004-76-4p 183004-77-5p 183004-75-3p 183004-76-4p 183004-77-5p 183004-75-3p 183004-76-4p 183004-75-3p 18300

183004-72-0 CAPLUS
Propanamide, N-[(15,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-y1)sulfonyl](2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,

ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183004-76-4 CAPLUS
Carbamic acid, [5-[[[(2R,3s)-2-hydroxy-3-[((2s)-2-methyl-3-(methylsulfonyl)-1-oxopropyl)amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI)(CA INDEX NAMS)

Absolute stereochemistry.

183004-77-5 CAPLUS
Propanamide, N-{ (15,2R)-3-[{(2-amino-6-benzothiazoly1)sulfony1}(2-methylpropy1)amino|-2-hydroxy-1-(phenylmethyl)propy1}-2-methyl-3-(methylsulfony1)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-78-6 CAPLUS
Propanamide, N-[(15,2R)-3-[(5-benzothiazolylsulfonyl) (2-methylpropyl) amino] -2-hydroxy-1-(phenylmethyl) propyl] -2-methyl-3-(methylsulfonyl)-, (25)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

183004-73-1 CAPLUS
Propanamide, N-[(15,2R)-3-[(6-benzothiszolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (25)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

183004-74-2 CAPLUS
Propanamide, N-[(15,2R]-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

183004-75-3 CAPLUS
Propananide, N-[(15,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

183182-29-8 CAPLUS
Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183004-99-1P 183005-01-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[[(sulfonylalkanoyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors)
183004-99-1 CAPLUS
Ethanethioic acid, S-((2S)-3-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)amino]-2-methyl-3-oxopropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

183005-01-8 CAPLUS
Propensmide, N-{(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Propanamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

LA ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

1996:153437 CAPLUS

11 Retroviral protease inhibitor combinations

IN Bryant, Martin L., Potts, Karen E., Smidt, Mary, Tucker, Simon P.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 64 pp.

CODE: PIXXD2

DT Patent

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

""" AM, AT, AU, BE, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, CB, GR, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, HM, MY, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT

RV KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2191948 AA 19951214 CA 1995-2191948 19950602

AU 696299 B2 19980903

AU 696299 B2 19980903

AU 696299 B2 19980903

AF AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, NL, FT, SE

BR 9507912 A 19970812 BR 1995-921428 19950602

AI 199709 A2 19980129 HI 1996-3328 19950602

MY 76979 A2 19980129 HI 1996-3328 19950602

MY 287702 A 20000623 NZ 1998-19146 19950602

NZ 287702 A 20000623 NZ 1998-19146 19950602

NZ 287702 A 20000623 NZ 1998-184184 19950602

PI 180070 B1 20001229 PL 1995-317425 19950602

NZ 287702 A 20000623 NZ 1998-184184 19950602

PI 180070 B1 20001229 PL 1995-317425 19950602

NZ 287702 A 200000623 NZ 1998-287702 19950602

NZ 287702 A 200000623 NZ 1998-287702 19950602

NZ 1995-037960 B1 20001229 PL 1995-1100123 19950602

NZ 1995-037960 B1 20001229 PL 1995-1100123 19950602

NZ 1995-037960 B1 20001229 PL 1995-1100123 19950602

NZ 1995-037960 B1 19961020

AB A method is disclosed for the treatment of mammalian retrovirus inhibitor compds. Also disclosed is combination of the retroviruse inhibitor compds. Also disclosed is combination provides protease inhibitor of rotease inhibitors and antiviral agents other than protease inhibitor compds. Also disclosed is combination therapy with other protease inhibitors in included.

IT 17403-66-3 CAPLUS

10/677,729 Page 40

=> =>	d que 11	5			
L5	7	9 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"GETMAN DANIEL P"/AU
L6	5	7 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"DECRESCENZO GARY A"/AU
L7	6	9 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"FRESKOS JOHN N"/AU
L8	17	2 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"SIKORSKI JAMES A"/AU
L9	6	7 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"DEVADAS BALEKUDRU"/AU
L10		SEA	FILE=CAPLUS ABB=O	N PLU=ON	"NAGARAJAN SRINIVASAN RAJ"/AU
L11	5) SEA	FILE=CAPLUS ABB=O	N PLU=ON	"MCDONALD JOSEPH J"/AU
L12	4	7 SEA	FILE=CAPLUS ABB=O	N PLU=ON	"VAZQUEZ MICHAEL L"/AU
L13	32	2 SEA	FILE=CAPLUS ABB=O	N PLU=ON	L5 OR L6 OR L7 OR L8 OR L9 OR
		L10	OR L11 OR L12		
L14	4) SEA	FILE=CAPLUS ABB=O	N PLU=ON	L13 AND RETROVIR?
L15		SEA	FILE=CAPLUS ABB=O	N PLU=ON	L14 AND SULFONYLALKANOYLAMINO

=> d 1-8 bib abs

L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN AN 2001:10084 CAPLUS DN 134:71903
 AN
DN
TI
            134:71903
Preparation of sulfonylalkanoylamino hydroxysthylamino sulfonamide retroviral protease inhibitors
Getman, Daniel P., Decrescenzo, Gary A., Freskos, John E., Varquez, Michael L., Sikorski, James A., Devadas, Balekudru, Nagarajan, Srinivasan, McDonald, Joseph
 IN
             J.

G.D. Searle and Co., USA
U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.

CODEN: USXXAM
 PA
SO
 DT Patent
LA English
FAN.CNT 4
PATENT NO.
                                                                                                        APPLICATION NO.
                                                            KIND DATE
                                                                                                                                                                DATE
PI US 6169085
US 6380188
US 20031931166
US 6667307
US 2004147758
PRAI US 1995-401838
WO 1996-22682
US 1997-913069
US 1999-411374
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US 2002-022123
                                                                            20010102
20020430
20031009
                                                               B1
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US 2000-672449
US 2002-82123
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20040729
19950310
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                                                                                                                                                                20031003
                                                                             19960307
19971219
                                                                             19991004
                                                                             20020226
             MARPAT 134:71903
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EC50 values 52 and 80 nm for the two isomers.

YT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN AN 1999:733035 CAPLUS DN 131:337352
US 5995870 A 19991116 US 1997-913069 19971219
WO 9628418 A1 19960919 WO 1995-925682 19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, PK, KR, KZ, LK, LR, S, LT, LU, LV, MD, MG, MK, NN, MW, MX, NO, NZ, FL, FT, RO, RU, SD, SE, SI
RY: KE, LS, MW, SD, SZ, UG, AT, BB, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, US 630188 B1 20020430 US 2003-672449 20000929 US 6667307 B2 20031023 US 2002-82123 20020226 US 6667307 B2 20031099 US 2002-82123 20020226 US 6667307 B2 2003123 US 2003-677729 PRAI US 1995-478625 A2 19950310 US 2003-677729 20
PRAI US 1995-478625 A2 19950607 US 1995-478625 A2 19950607 US 1995-478625 A2 19950607 US 1995-478625 A2 19950607 US 1995-478624 A1 13991024 US 2002-672449 A1 20000929 US 2002-672429 A1 20000929 US 2002-67243 A1 20000929 US 2002-67249 A1 20000929 U
                                                                                                                       131:337352
Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
Getman, Daniel P., DeCrescenzo, Gary A., Freskos,
John B., Varquez, Michael L., Sikorski, James A.,
Devadas, Balekudru, Nagarajan, Srinivasan, McDonald, Joseph
```

Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.

RSS(0):E(CH2):nCHRICONNCHRZCH(OH) CHZNASSOZRE (RI = H, alkyl), alkenyl,
alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2COUNEZ, CH2CH2COUNEZ,
CH2SOZNH2, CH2SNe, CH2SOMe, CH2SOZNe; R2 = alkyl, aralkyl, alkylthioalkyl,
arylthioalkyl, cycloalkylasikyl; R3 = alkyl, cycloalkyl, cycloalkylaethyl;
R4 = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepared as
retroviral protease inhibitors. Thus, N-[ZR-hydroxy-3-[(2methylpropyl]([1,3-benzodioxol-5-yl)sulfonyl]amino]-15(phenylmethyl)propyl]-25-methyl-3-(methylsulfonyl)propanamide (I) was
prepared and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50

- 20 nM).

CTT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD

THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS ON STN ALL CITATIONS AVAILABLE IN THE RE FORMAT (Continued)

Page 42 10/677,729

L15 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN AN 1998:324825 CAPLUS

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L15 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:392089 CAPLUS
DN 129:40987

Guifonylaikanoylamino hydroxyethylamino sulfonyl urea
derivatives useful as retroviral protease inhibitors
IN Vezques, Michael L., Hueller, Richard A.; Talley, John J.;
Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
G. D. Searle and Co., USA
SO U.S., 29 pp., Cont. of U. S. Ser. No. 969,616, abandoned.
CODEN: USSXAM
DT Patent
LA English
FAN.CHT 1
PATENT NO. KIND DATE APPLICATION NO.

PI US 5756498 A 19980526 US 1996-589563
                                                                                                                                                                                                                                                                                       APPLICATION NO.
                                                                                                                                                   A 19980526
B1 19921030
                             US 5756498 A 19980526 US 1996-589563 19960111
US 1992-969616 B1 19921030
MARRAT 129:40987
SUIGonylatkanoylamino hydroxyethylamino sulfonyl urea derivs.
RSON (CH2) UCR20R21CKRIC(Y)NRGCHR2CH(OR), CH2RSSONNAUCRTR' (CH2) DR R R-
alkyl, alkenyl, alkynyl, cycloalkyl, etc., R R 20, R21 + H, CH2SOZNH2,
CH2COZMe, haloalkyl, etc., R2 = alkyl, aryl, cycloalkyl, etc., R3 = alkyl,
haloalkyl, alkenyl, alkynyl, etc., R4 - H, radicals as defined for R3, R6
- H, alkyl, R7, R7' = H, amino acid side chains, etc., R8 = cyano, OH,
alkyl, alkowy, etc., x = 1, 2) t = 0-2; n = 0-6; Y = S, S, RNI5),
effective as retroviral protease inhibitors, and in particular
as inhibitors of HIV protease (no data), were prepared R.9.,
N-[[[2R-hydroxy-35-[12S-methyl-3-(methylsulfonyl)-1-cxopropyl]amino]-4-
phenylbutyl) (3-methylbutyl) amino|sulfonyl]-2-methylalamine Et ester was
prepared
                                                                                       THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN 1998:41716 CAPLUS 128:115228
Preparation of sulfonyialkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors Getman, Daniel P., Decrescento, Gary A., Freskos, John H., Varques, Michael L., Sitorski, James A., Devedas, Balekudru, Nagarajan, Srinivasan, Mcdonald, Joseph J.
                                                               J.

G.D. Searle and Co., USA
U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 401,038, abandoned.
CODEN: USXXAM
Patent
English
CNT 4
PATENT NO. KIND DATE APPLICATION NO. DATE
DT Patent
LA English
FATENT NO.

PI US 5705500 A 19980106 US 1995-478625 19950007
CA 2215066 AA 19980919 CA 1996-2215066 19960307
WO 9628418 A1 19980919 CA 1996-US2682 19960307
WI ST, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
LU, LV, HD, HG, HK, MN, MW, HK, NO, NZ, PL, FT, RO, RU, SD, SE,
SK, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
LU, LV, HD, HG, HK, MN, MW, HK, NO, NZ, PL, FT, RO, RU, SD, SE,
RW: KE, LS, HW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, HC, NL, FT, SE, BF, BJ, CF, CG, CI, CH, GA
AU 9666951 A1 19981007
AU 711098 B2 19991007
EP 813519 A1 19991007
EF 813519 A1 19971229
EF 813519 B1 NS, ES, FR, GB, GR, IT, LI, LU, NL, SE, FT, IE,
SI, LT, LV, FI
CN 1183767 A 19980630 CN 1996-191229 19960307
BR 9607450 A 19980630 CN 1996-193609 19960307
BR 9607450 A 19980630 CN 1996-193609 19960307
BF 1052250 A1 20001115 EP 2000-114155 19960307
BF 1052250 B1 20001014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, FT, IE,
SI, LT, LV, FI
AT 201014 EX 20001115 EP 2000-114155 19960307
PF 1813519 T 20010101 EF 2000-114155 19960307
PF 813519 T 20010101 F 1996-911229 19960307
PF 813519 T 20010101 F 1996-911229 19960307
PF 813519 T 20010011 F 1996-911229 19960307
PF 813519 T 2001001 F 1996-911229 19960307
PF 813519 T 2001001 F 1996-911229 19960307
PF 813519 T 2001001 F 1996-911229 19960307
PF 813519 T 20010101 F
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129:16390
Preparation of substituted sulfonylalkanoylamino hydroxyethylamino sulfonemide retroviral protease inhibitors Sikoraki, James A., Getman, Daniel F., Decreacento, Gary A., Devadas, Balekudru, Freskos, John S., Lu, Hwang-Tun; McDonald, Joseph J. G.D. Searle and Co., USA U.S., 131 pp. CODEN: USDXAM Patent
                   TN
                                                                                NT 1
PATENT NO.
                                                                                                                                                                                                                                                                                                                         A 19980519
19961113
PATENT NO. KIND DAID

PATENT NO. KIND DAID

FI US 5753660 A 19980519 US 1996-747357 19961113

PRAI US 1996-747357 19961113

OS HARPAT 129:16390

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L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylpropyl] [(1,3-benzodioxol-5-yl) sulfonyl] amino] -15(phenylmethyl)propyl]-25-methyl-3-(methylsulfonyl)propanamide was prepd.
and assayed for HIV protease inhibitory activity (IC50 = 2 nH; EC50 = 20 nM). RE.CNT 58

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
1997:450087 CAPLUS
127:65754
           AN
DN
TI
                                127:65/54
Preparation of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)
butyl|arylsulfonamides and analogs as retrovirel protease
inhibitors
Sktorski, James A., Getman, Daniel P.,
             IN
                               Sikorski, James A., Getman, Daniel P.;
Decrescency, Gary A.; Devades, Balekudru; Freskos,
John B.; Lu, Hrang-fun; Mcdonald, Joseph J.
G.D. Searle and Co., USA; Sikorski, James A.; Getman, Daniel P.;
Decrescenco, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hrang-Fun;
Mcdonald, Joseph J.
PCT Int. Appl., 68 pp.
CODEN: PIXXD2
           PA
          so
FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

1970522

WO 1996-US17771

19961113

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, CB, CB, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, HG, MK, HN, MY, HX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, TU, AUG, US, UZ, VN, AM, AZ, BY, KG, KZ, KZ, ML, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, HN, NS, SN, TD, TG

CA 2236236

AN 9677222

A1 19970605

AN 199707052

AU 9677222

A1 19970605

AN 1996-US17771

AU 1996-US17771

OS MARPAT 127:65754

GI
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L15 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AB R5SOt(CH2) nC(:W) NHCHR2CH(OH) CH2NR3SO2R4 [1; R1 = H, (un) substituted alkyl, alkenyl, etc.; R2 = (ar) alkyl, alkylthioslkyl, arylthioslkyl, etc.; R3 = (cyclo) alkyl, cycloalkylalkyl, etc.; R5 = heterocyclyl(alkyl), (hetero) aryl(alkyl), etc.; W = 0 or S; n,t = 0-2 were prepared Thus, (2R, 35) -PhcH2O2CHHCH(CH2Ph) CH(OH) CH2NHCH2CHH2C (preparation given) was amidated by (s)-AcSCH2CHMeCO2H to give, after deprotection, sulfonamide II (R = SH). The latter was S-alkylated by 2-(2-chloroethyl) pyridine (preparation

AN	1996:380218 CAPL	US			
DN	125:142289				
TI	Sulfonylalkanoyla	mino hyd	roxyethylami	no sulfonamides usefu	l as
	retroviral protes				
IN	Vazquez, Michael	L.; Muel	ler. Richard	A.; Talley, John J.;	
	Getman, Daniel; D				
PA	G. D. Searle and				
SO				er. No. 935,071, aban	doned.
	CODEN: USXXAM			,,	
DT	Patent				
LA	English				
	CNT 2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				/U.T. 2.T. COLO. 1.0.T.	
PI	US 5521219	A	19960528	US 1993-110913	19930824
	AT 161828	E	19980115	AT 1993-920214	19930824
	ES 2112430	T3	19980401	ES 1993-920214	19930824
	FI 9500651	Ä	19950214	FI 1995-651	19950214
	US 5508294	Ä	19960416	US 1995-455051	19950531
	US 5510388	Ä	19960423	US 1995-455947	19950531
	US 5639769	Ä	19970617	US 1996-58768B	19960117
	US 5760064	Ä	19980602	US 1997-867430	19970606
	US 5965588	Ä	19991012	US 1998-48034	19980326
	US 6147117	Ä	20001114	US 1999-352215	19990713
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	US 2004267022	A1	20041230	US 2004-750213	20040102
PRAI	US 1992-935071	B2	19920825		
	US 1993-110913	A3	19930824		
	US 1996-587688	A1	19960117		
	US 1997-867430	A1	19970606		
	US 1998-48034	A1	19980326		
	US 1999-352215	A1	19990713		
	US 2000-655844	A3	20000906		
OS	MARPAT 125:142289				

RSO2 (CH2) tCH2CHR1C(:Y)NHCHR2CH(OH)CH2NR3SO2R4 (R = alkyl, alkenyl, aryl, etc.; Rl = H, CHe2SHe, alkyl, haloalkyl, amino acid side chain, etc.; R2 = alkyl, aryl, cycloalkyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; R4 - alkyl, cycloalkyl, aryl, etc.; t = 0, 1; Y = 0, S) and their salts were prepared as retroviral protesse inhibitors. Thus, I was prepared in several steps and shown to have an ICSO of 3.2 nanomolar when tested against HIV protesse.

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) given) and the product oxidized to give II [R = 2-(2-pyridyl)ethylsulfonyl]. Data for biol. activity of I were given.

```
DN 122:188162
TI preparation of sulfonylalkanoylamino hydroxyethylamino sulfamic acids as retroviral protease inhibitors
IN Varquer, Michael L., Mueller, Richard A., Talley, John J., Gettan, Daniel P., De Crescenzo, Gary A., Sun, Eric T.
PA G.D. Searle and Co., USA, Monsanto Co.
CODEN, PIZKU2
TP Fatent
LA English
FAN.CNT 1
PATENT NO.
    L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN AN 1995:408388 CAPLUS DN 122:188162
                WO 9410136 A1 19940511 WO 1993-US10461 19931029
W1 AT, AU, BB, BG, BR, BY, CA, CH, CZ, DB, DK, ES, FI, GB, HU, JF, KP, KR, KZ, LK, LU, LV, MG, MN, MV, NL, NO, NZ, FL, FT, RO, KU, SD, SE, SK, UA, US, VW
RY: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 945651 A1 19940524 AU 1994-5651 19931029
EF 666843 A1 19940524 AU 1994-5651 19931029
ER 666843 B1 19990818
ER 1 AT, BE, CH, DE, DK, ES, FR, GB, GR IF FR 85881
```

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Sulfonylelkanoylemino hydroxyamino sulfamic acid compds. [I) R = alkyl, alkenyl, alkynyl, cycloalkyl, hydroxyalkyl, etc.; R1, R20, R21 = H, CR2-S02-NH2, CH2-CO2-He, CO2He, CONH2, etc.; R2 = alkyl, syl, cycloalkyl, cycloalkylalkyl, etc.; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, R4, R5 = H, any group in the definition of R3; R6 = H, alkyl; x = 1,2; t = 0, 1, 2; Y = 0, 5, NR15; R15 = H, any group in the definition of R3] and their pharmaceutically acceptable salts and esters,

10/677,729 Page 44

L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, are prepd. E.g., 2(5)-mathyl-3-(mathylsulfonyl)propionic acid was condensed with the phenylalanine deriv. II (prepn. given) in DMF contg. HOBt and 1-(3-dimethylaminopropyl)-3-ethylcarbodinmide at 0' for 2 h and at room temp. for 16 h to give the title compd. III. III was the only title compd. prepd. with data and it was not tested for biol. activities; however, some intermediates, e.g., analogs of II, were tested for their HIV inhibition activity.

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